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NEWS 5 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6 DEC 14 CA/CAplus to be enhanced with updated IPC codes
NEWS 7 DEC 21
                  IPC search and display fields enhanced in CA/CAplus with the
                  IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
                  USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
                  INPADOC
                  Pre-1988 INPI data added to MARPAT
NEWS 11 JAN 17
NEWS 12 JAN 17
                  IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30
                  Saved answer limit increased
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency
                  added to TULSA
NEWS 15 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
                  visualization results
NEWS 16 FEB 22 Status of current WO (PCT) information on STN NEWS 17 FEB 22 The IPC thesaurus added to additional patent of NEWS 18 FEB 22 Updates in EPFULL; IPC 8 enhancements added
                 The IPC thesaurus added to additional patent databases on STN
NEWS 19 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 20 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 21 FEB 28 TOXCENTER reloaded with enhancements
NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
                  property data
NEWS 23 MAR 01 INSPEC reloaded and enhanced
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
               V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
              http://download.cas.org/express/v8.0-Discover/
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              General Internet Information
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               Welcome Banner and News Items
NEWS PHONE
               Direct Dial and Telecommunication Network Access to STN
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Enter NEWS followed by the item number or name to see news on that specific topic.

10530810.trn

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FILE 'HOME' ENTERED AT 08:59:36 ON 02 MAR 2006

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:59:43 ON 02 MAR 2006
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STRUCTURE FILE UPDATES: 1 MAR 2006 HIGHEST RN 875609-25-9 DICTIONARY FILE UPDATES: 1 MAR 2006 HIGHEST RN 875609-25-9

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=>
Uploading C:\Program Files\Stnexp\Queries\10530810\Struc 2.str

H
$$G_2$$
 G_2 G_3 G_4 G_5 G_6 G_7 G_8 G_9 $G_$

chain nodes :
6 7 10
ring nodes :
1 2 3 4 5 11 12 13 14 15 16 17 18 19 20 21 22
chain bonds :
2-11 3-7 5-6 6-10 6-12
ring bonds :
1-2 1-5 2-3 3-4 4-5 11-13 11-17 12-18 12-22 13-14 14-15 15-16 16-17
18-19 19-20 20-21 21-22
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 5-6 6-10 6-12
exact bonds :
2-11 3-7
normalized bonds :
11-13 11-17 12-18 12-22 13-14 14-15 15-16 16-17 18-19 19-20 20-21 21-22

G1:Cb,Cy,Hy

G2:H,Ak

Match level :

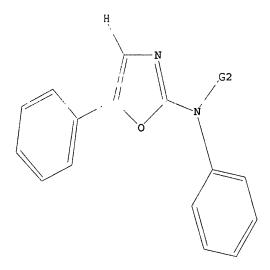
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> 11

SAMPLE SEARCH INITIATED 08:59:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 38 TO ITERATE

100.0% PROCESSED 38 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 391 TO 1129

PROJECTED ANSWERS: 159 TO 721

22 SEA SSS SAM L1

=> 11 full

L2

FULL SEARCH INITIATED 09:00:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 779 TO ITERATE

100.0% PROCESSED 779 ITERATIONS 501 ANSWERS

SEARCH TIME: 00.00.01

L3 501 SEA SSS FUL L1

=> file medline caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 166.94 167.15

FILE 'MEDLINE' ENTERED AT 09:00:14 ON 02 MAR 2006

FILE 'CAPLUS' ENTERED AT 09:00:14 ON 02 MAR 2006

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=> 13
L4
            23 L3
=> dup rem 14
PROCESSING COMPLETED FOR L4
            23 DUP REM L4 (0 DUPLICATES REMOVED)
=> d ibib abs hitstr 1-23
    ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2006:29378 CAPLUS
DOCUMENT NUMBER:
                        144:121796
TITLE:
                        Imidazole derivatives and other compounds as
                        angiogenesis inhibitors, and their therapeutic use
INVENTOR(S):
                        Liu, Jun; Chong, Curtis; Sullivan, David
PATENT ASSIGNEE(S):
                        The Johns Hopkins University, USA
SOURCE:
                        PCT Int. Appl., 90 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND DATE
                                           APPLICATION NO.
                                                                  DATE
                                           WO 2005-US23015
     WO 2006004795
                        A2
                               20060112
                                                                  20050627
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
            NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
            SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
            ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
             KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                                               P 20040625
                                           US 2004-583076P
    Methods are disclosed for inhibiting angiogenesis and treating or
     preventing a disease or disorder (or symptoms thereof) associated with
     angiogenesis, wherein an anti-angiogenesis compound (e.g. an imidazole
     derivative) is administered to a subject.
    267645-83-0, BMS-337197
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (imidazole derivs. and other compds. as angiogenesis inhibitors, and
        therapeutic use)
```

4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-

oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

267645-83-0 CAPLUS

RN

CN

$$\begin{array}{c|c} & & & \\ & & & \\$$

L5 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1292132 CAPLUS

DOCUMENT NUMBER:

144:36330

TITLE:

Preparation of oxazolobenzoisothiazole dioxides for

prevention and treatment of diabetes

INVENTOR(S):

Petry, Stefan; Tennagels, Norbert; Kirsch, Reinhard;

Baringhaus, Karl-Heinz

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
WO	WO 2005116003				A2 20051208			WO 2005-EP5321						20050514			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	TG											
PRIORITY GI	APP:	LN.	INFO	. :]	DE 2	004-	1020	0402	6532	A 20	0040	529

$$X = \begin{bmatrix} X & OH & OH & Bu-t \\ N & Y & & t-Bu & Bu-t \\ R^7 & R^6 & & & \\ N & O & & CO-CH_2-N_3 \\ R^5 & R^8 & I & II & III \end{bmatrix}$$

AB Title compds. I [X = 0, CR2; Y = 0, CR2; R1, R2 = H, Aryl, CO2H, etc.; R3 = H, alkyl, CO-Aryl, etc.; R4, R5 = H, halo, alkyl, etc.; R6, R7 = H, halo, alkyl, etc.; R8 = H, CH3, CF3, etc.] and their pharmaceutically acceptable salts were prepared For example, cyclocondensation of azide II and isothiocyanate III afforded benzoisothiazole IV in 64% yield. In protein phosphotyrosine phosphatase 1B (PTP1B) inhibition assays, 9-examples of compds. I exhibited IC50 values ranging from 2.16-290 μM.

IT 870789-56-3P 870789-57-4P 870789-58-5P 870789-59-6P 870789-60-9P 870789-62-1P 870789-63-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolobenzoisothiazole dioxides for prevention and treatment of diabetes)

RN 870789-56-3 CAPLUS

CN Benzonitrile, 3-[2-[(1,3-dihydro-2,2-dioxido-2,1-benzisothiazol-5-yl)amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 870789-57-4 CAPLUS

CN 2,1-Benzisothiazol-5-amine, N-[5-(3-bromophenyl)-2-oxazolyl]-1,3-dihydro-,

Page 8

2,2-dioxide (9CI) (CA INDEX NAME)

RN 870789-58-5 CAPLUS

CN 2,1-Benzisothiazol-5-amine, 1,3-dihydro-N-[5-[4-(trifluoromethyl)phenyl]-2-oxazolyl]-, 2,2-dioxide (9CI) (CA INDEX NAME)

RN 870789-59-6 CAPLUS

CN Phenol, 4-[2-[(1,3-dihydro-2,2-dioxido-2,1-benzisothiazol-5-yl)amino]-5-oxazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 870789-60-9 CAPLUS

CN 2,1-Benzisothiazol-5-amine, N-[5-(4'-chloro[1,1'-biphenyl]-4-yl)-2-oxazolyl]-1,3-dihydro-, 2,2-dioxide (9CI) (CA INDEX NAME)

RN870789-62-1 CAPLUS

Benzoic acid, 5-[2-[(1,3-dihydro-2,2-dioxido-2,1-benzisothiazol-5-CN yl)amino]-5-oxazolyl]-2-hydroxy- (9CI) (CA INDEX NAME)

870789-63-2 CAPLUS Acetic acid, [4-[2-[(1,3-dihydro-2,2-dioxido-2,1-benzisothiazol-5-CNyl)amino]-5-oxazolyl]phenoxy]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

142:447205

ACCESSION NUMBER: DOCUMENT NUMBER:

2005:395287 CAPLUS

TITLE:

Preparation of 2-(arylamino)oxazole derivatives as

inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3

10530810.trn

Page 10

INVENTOR(S): Moussy, Alain; Wermuth, Camille; Grierson, David;

Benjahad, Abdellah; Croisy, Martine; Ciufolini, Marco;

Giethlen, Bruno

PATENT ASSIGNEE(S): Science AB, Fr.; Centre National de la Recherche

Scientifique CNRS; Institut Curie

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

GI

Patent English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO	KI	ND	DATE	ATE		APPLICATION NO.					DATE				
												-			
WO 200504	WO 2005040139			A2 20050506			WO 2004-IB3698					20041022			
WO 200504	10139	Α	3	2005	1013										
W: A	AE, AG,	AL, AM	, AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
C	CN, CO,	CR, CU	, CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
G	GE, GH,	GM, HR	, HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	
· I	LK, LR,	LS, LT	, LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,	
N.	NO, NZ,	OM, PG	, PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
T	Ŋ, TM,	TN, TR	, TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
RW: E	BW, GH,	GM, KE	, LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
P	AZ, BY,	KG, KZ	, MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
E	EE, ES,	FI, FR	, GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
S	SI, SK,	TR, BF	, BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
S	SN, TD,	TG													
PRIORITY APPLN	:					US 2003-513214P					P 20031023				
OTHER SOURCE (S	MA	MARPAT 142:447205													
CT												*			

AB Title compds. I [R1, R2, R3, and R4 independently = H, halo, alkyloxy, etc.; R5 = H, (un)substituted linear or branched alkyl, COR8, etc.; R6 and R7 independently = H, halo, (un)substituted aryl, etc.; R8 = (un)substituted-aryl, -alkyl, -heteroaryl, etc.; R9 and/or R10 = H, (un)substituted-alkyl, -aryl, etc.; X = (un)substituted-alkyl, C:OY, NR9R10, etc.; Y = NR9R10, NHR9R10, (un)substituted-aryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as potent and selective c-kit, bcr-abl, FGFR3 and/or Flt-3 inhibitors. Thus, e.g., 3-acetyl-pyridine was brominated and subsequently converted into the azido derivative, which was cyclized with 2-methyl-5-nitrophenyl isocyanate followed by a reduction to the resp. amine derivative, which could be further elaborated to

give II. The activity of I was evaluated in tyrosine kinase inhibition assays and it revealed that selected compds. of the invention possessed IC50 values of less than 1 μM_{\odot} I should prove useful in the treatment of neoplastic diseases. Pharmaceutical compns. comprising I are disclosed.

IT 851317-71-0P 851317-85-6P 851318-01-9P 851318-14-4P 851318-18-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(arylamino)oxazole derivs. as inhibitors of c-kit, bcr-abl, FGFR3, and/or Flt-3)

RN 851317-71-0 CAPLUS

CN 1,3-Benzenediamine, 4-methyl-N1-(5-phenyl-2-oxazolyl)-N3-[5-(4-pyridinyl)-2-oxazolyl]- (9CI) (CA INDEX NAME)

RN 851317-85-6 CAPLUS

CN Urea, N-[4-methyl-3-[(5-phenyl-2-oxazolyl)amino]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 851318-01-9 CAPLUS

CN Benzamide, N-[4-methyl-3-[(5-phenyl-2-oxazolyl)amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 851318-14-4 CAPLUS

CN Benzeneacetamide, 2,4-difluoro-N-[4-methyl-3-[(5-phenyl-2oxazolyl)amino]phenyl]- (9CI) (CA INDEX NAME)

RN 851318-18-8 CAPLUS

CN Benzeneacetamide, N-[3-[[5-(4-cyanophenyl)-2-oxazolyl]amino]-4-methylphenyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:55033 CAPLUS

DOCUMENT NUMBER: 142:155956

TITLE: Heterocyclic compounds, including [3-(4H-1,2,4-triazol-

3-yl)pyridin-2-yl]amines and analogs, and their

preparations, pharmaceutical compositions, and use as

anticancer agents

INVENTOR(S): Ouyang, Xiaohu; Kiselyov, Alexander; Chen, Xiaoling; He, Hai-Ying; Kawakami, Joel; Pattaropong, Vatee;

Piatnitski, Evgueni; Tuma, Maria Carolina; Kincaid,

John

PATENT ASSIGNEE(S): Imclone Systems Incorporated, USA

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10530810.trn

PATENT INFORMATION:

```
KIND DATE
                                                      APPLICATION NO.
      PATENT NO.
                                                                                      DATE
                              ----
                                                        -----
      WO 2005004818 A2
WO 2005004818 A3
                                         20050120 WO 2004-US22226
                                                                                       20040709
      WO 2005004818
                                A3 20050506
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
                LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
                TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
           RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
                AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
                SN, TD, TG
PRIORITY APPLN. INFO.:
                                                         US 2003-485963P P 20030709
                               MARPAT 142:155956
OTHER SOURCE(S):
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to heterocyclic compds. that have anticancer activity, pharmaceutical compns. that contain such compds., methods of treating diseases and conditions in mammals using the compds. and compns. and methods for their manufacture In particular, the invention concerns compds. I and their pharmaceutically acceptable salts, stereoisomers, hydrates, and prodrugs [wherein: U/V/T = N/N/N, N/N/O, or C(R5)/N/O; X =N, CH; Z = O, S, NO2, NR4; R1, R2, R5 = H, OH, halo, NO2, cyano,alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), aryloxy, (un) substituted NH2, SO2H or derivs.; R1R2 may form a ring; R3 = H, alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), carbonyl, SO2H or derivs., CO2H or derivs., SH or derivs., S(O)H or derivs.; R4 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), carbonyl, SO2H or derivs., S(O)H or derivs.; or R3R4 forms a heterocyclic ring; R6 = alk(en/yn)yl, alkoxy, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), (un)substituted NH2]. Approx. 260 compds. were prepared and biol. tested. Bioassays included an in vitro tubulin polymerization assay, a cell cycle anal., and a colorimetric (sulforhodamine B) cytotoxicity assay. For instance, invention compound II was prepared in 7 steps: (1) conversion of 2-chloropyridine-3-carboxylic acid to the Et ester, (2) aminolysis of the chloride with 3,5-dimethoxyaniline, (3) conversion of the ester to the hydrazide, (4,5) sep. preparation of N-(3,5-dimethoxyphenyl)thiourea, (6) S-methylation of the latter, and (7) cyclocondensation of the above hydrazide with the resultant isothiourea derivative to give II. The similarly prepared compound III

gave complete inhibition of bovine tubulin polymerization in vitro at 10 μ M, and gave 50% inhibition of tumor cell growth at 0.3 μ M in the sulforhodamine B assay.

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IT 830333-82-9P 830333-83-0P 830333-84-1P
830333-85-2P 830333-86-3P 830333-87-4P
830333-88-5P 830333-89-6P 830333-90-9P
830333-91-0P 830333-93-2P 830333-95-4P
830333-97-6P 830333-99-8P 830334-01-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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Page 14

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazolylpyridinylamines and analogs as tubulin polymerization inhibitors for use as anticancer agents)

RN 830333-82-9 CAPLUS

CN 2-Oxazolamine, 5-[2-[(1,3-benzodioxol-5-ylmethyl)amino]phenyl]-N-(2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 830333-83-0 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-[2-[[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 830333-84-1 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-[2-[[(3,5-dimethoxyphenyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 830333-85-2 CAPLUS

CN 2-Oxazolamine, 5-[2-[[(3,4-difluorophenyl)methyl]amino]phenyl]-N-(2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 830333-86-3 CAPLUS

CN 3-Pyridinemethanamine, N-[2-[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 830333-87-4 CAPLUS

CN 2-Oxazolamine, 5-[2-[(1,3-benzodioxol-5-ylmethyl)amino]phenyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 830333-88-5 CAPLUS

2-Oxazolamine, 5-[2-[[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]phenyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

CN

RN 830333-89-6 CAPLUS

CN 2-Oxazolamine, 5-[2-[[(3,5-dimethoxyphenyl)methyl]amino]phenyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 830333-90-9 CAPLUS

CN 2-Oxazolamine, 5-[2-[[(3,4-difluorophenyl)methyl]amino]phenyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 830333-91-0 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-[2-(4-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 830333-93-2 CAPLUS

10530810.trn

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-[2-(3-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 830333-95-4 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-[2-(2-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 830333-97-6 CAPLUS

CN 2-Oxazolamine, N-(3-methoxyphenyl)-5-[2-(4-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 830333-99-8 CAPLUS

CN 2-Oxazolamine, N-(3-methoxyphenyl)-5-[2-(3-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 830334-01-5 CAPLUS

CN 2-Oxazolamine, N-(3-methoxyphenyl)-5-[2-(3-methoxypropoxy)phenyl]- (9CI) (CA INDEX NAME)

MeO
$$\sim$$
 NH \sim O \sim (CH₂)₃ \sim OMe

IT 830334-40-2P 830334-41-3P 830334-42-4P 830334-43-5P 830334-44-6P 830334-45-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of triazolylpyridinylamines and analogs as tubulin polymerization inhibitors for use as anticancer agents)

RN 830334-40-2 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-nitrophenyl)-(9CI) (CA INDEX NAME)

$$O_2N$$

RN 830334-41-3 CAPLUS

CN 2-Oxazolamine, 5-(2-aminophenyl)-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-(9CI) (CA INDEX NAME)

RN 830334-42-4 CAPLUS

CN 2-Oxazolamine, N-(3-methoxyphenyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 830334-43-5 CAPLUS CN 2-Oxazolamine, 5-(2-aminophenyl)-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 830334-44-6 CAPLUS CN Phenol, 2-[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 830334-45-7 CAPLUS
CN Phenol, 2-[2-[(3-methoxyphenyl)amino]-5-oxazolyl]-, monohydrochloride
(9CI) (CA INDEX NAME)

HCl

L5 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1103347 CAPLUS

DOCUMENT NUMBER:

143:387019

TITLE: INVENTOR(S): Preparation of thiazole tyrosine kinase inhibitors

Bilodeau, Mark T.; Rodman, Leonard

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 30 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005228031	A1	20051013	US 2004-823156	20040413
PRIORITY APPLN. INFO.:			US 2004-823156	20040413
OTHER SOURCE(S):	MARPAT	143:387019		

GI

$$R^{1}$$
 X
 N
 H
 R^{2}
 t

AB The title compds. I [A = (hetero)aryl; X = S, O; R1 = (un)substituted Ph, CN, (un)substituted amido; R2 = H, CN, halo, etc.; t = 0-3] which inhibit, regulate and/or modulate tyrosine kinase signal transduction, and are useful for treating tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals, were prepared Thus, reacting (1-bromo-2,2-dimethoxyethyl)benzene with Ph thiourea afforded N,5-diphenyl-1,3-thiazol-2-amine. The compds. I inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.001-5.0 μM. The pharmaceutical composition s comprising the compds. I alone or in combination with other therapeutic agents, are disclosed.

IT 135307-33-4P 681002-66-4P 866756-95-8P 866756-96-9P 866756-97-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole tyrosine kinase inhibitors)

RN 135307-33-4 CAPLUS

CN 2-Oxazolamine, N,5-diphenyl- (9CI) (CA INDEX NAME)

RN 681002-66-4 CAPLUS

CN 2-Oxazolamine, N-(3,5-dimethoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 866756-95-8 CAPLUS

CN 2-Oxazolamine, N-(3,5-dimethylphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 866756-96-9 CAPLUS

CN 2-Oxazolamine, N-(2,3-dihydro-1H-inden-5-yl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 866756-97-0 CAPLUS

CN 2-Oxazolamine, N-[3,5-bis(trifluoromethyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

10530810.trn

L5 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:127896 CAPLUS

DOCUMENT NUMBER: 142:348122

TITLE: Discovery and Evaluation of 2-Anilino-5-aryloxazoles

as a Novel Class of VEGFR2 Kinase Inhibitors

AUTHOR(S): Harris, Philip A.; Cheung, Mui; Hunter, Robert N., III; Brown, Matthew L.; Veal, James M.; Nolte, Robert

T.; Wang, Liping; Liu, Wendy; Crosby, Renae M.; Johnson, Jennifer H.; Epperly, Andrea H.; Kumar, Rakesh; Luttrell, Deirdre K.; Stafford, Jeffrey A.

CORPORATE SOURCE: Rakesn; Luttrell, Delrdre K.; Stallord, Jeilrey A.

CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709,

USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(5),

1610-1619

CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of derivs. of 2-anilino-5-phenyloxazole (5) has been identified as inhibitors of VEGFR2 kinase. Herein the authors describe the structure-activity relationship (SAR) of this novel template. Optimization of both aryl rings led to very potent inhibitors at both the enzymic and cellular levels. Oxazole 39 had excellent solubility and good oral PK when dosed as the bis-mesylate salt and demonstrated moderate in vivo efficacy against HT29 human colon tumor xenografts. X-ray crystallog. confirmed the proposed binding mode, and comparison of oxazoles 39 and 46 revealed interesting differences in orientation of 2-pyridyl and 3-pyridyl rings, resp., attached at the meta position of the 5-Ph ring.

IT 848902-21-6P

PUBLISHER:

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-anilino-5-aryloxazoles as a class of VEGFR2 kinase inhibitors) 848902-21-6 CAPLUS

RN 848902-21-6 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-pyridinyl)phenyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 681003-66-7 CMF C23 H21 N3 O4 S

CM 2

CRN 75-75-2 CMF C H4 O3 S

IT 681003-66-7P 848902-16-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-anilino-5-aryloxazoles as a class of VEGFR2 kinase inhibitors)

RN 681003-66-7 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 848902-16-9 CAPLUS

CN Benzenesulfonamide, N-(cyclopropylmethyl)-4-methoxy-3-[[5-[3-(3-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

IT 135307-33-4P 681002-42-6P 681002-45-9P 681002-57-3P 681002-67-5P 681003-21-4P 681003-22-5P 681003-23-6P 681003-26-9P 681003-28-1P 681003-60-1P 681003-61-2P 681003-62-3P 681003-64-5P 681003-65-6P 681003-67-8P 681003-68-9P 681003-88-3P 681004-10-4P 681004-11-5P 848902-07-8P 848902-08-9P 848902-10-3P 848902-11-4P 848902-13-6P 848902-14-7P 848902-15-8P 861390-35-4P 861390-48-9P 861390-66-1P 861390-82-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-anilino-5-aryloxazoles as a class of VEGFR2 kinase inhibitors) 135307-33-4 CAPLUS

CN 2-Oxazolamine, N,5-diphenyl- (9CI) (CA INDEX NAME)

RN

RN 681002-42-6 CAPLUS

CN Benzenesulfonamide, N,N-diethyl-4-methoxy-3-[(5-phenyl-2-oxazolyl)amino]-(9CI) (CA INDEX NAME)

RN 681002-45-9 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-57-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-methoxyphenyl)(9CI) (CA INDEX NAME)

RN 681002-67-5 CAPLUS

CN 2-Oxazolamine, N-(3-methylphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681003-21-4 CAPLUS

CN 2-Oxazolamine, 5-(4-chlorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl](9CI) (CA INDEX NAME)

RN 681003-22-5 CAPLUS
CN Benzonitrile, 4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl](9CI) (CA INDEX NAME)

RN 681003-23-6 CAPLUS
CN Benzamide, 4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl](9CI) (CA INDEX NAME)

RN 681003-26-9 CAPLUS CN 2-Oxazolamine, 5-(3-chlorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-28-1 CAPLUS CN Benzonitrile, 3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 681003-60-1 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-61-2 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(3thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-62-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-64-5 CAPLUS

CN 2-Oxazolamine, 5-(3-ethylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-65-6 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-67-8 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1-methyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-68-9 CAPLUS

CN 2-Oxazolamine, 5-[1,1'-biphenyl]-3-yl-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-88-3 CAPLUS
CN Ethanone, 1-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681004-10-4 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(2'-fluoro[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 681004-11-5 CAPLUS CN 2-Oxazolamine, 5-(2'-chloro[1,1'-biphenyl]-3-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME) Page 31

RN 848902-07-8 CAPLUS

CN Benzonitrile, 2-[(5-phenyl-2-oxazolyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848902-08-9 CAPLUS

CN Benzonitrile, 3-[(5-phenyl-2-oxazolyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848902-09-0 CAPLUS

CN 2-Oxazolamine, N-(3-phenoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

10530810.trn

RN 848902-10-3 CAPLUS

CN 2-Oxazolamine, N-[3-(ethylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 848902-11-4 CAPLUS

CN Benzenesulfonamide, 4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ S-NH_2 \\ O \\ \end{array}$$

RN 848902-13-6 CAPLUS

CN 2-Oxazolamine, N-(4-phenoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 848902-14-7 CAPLUS

CN 2-Oxazolamine, 5-(2-chlorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 848902-15-8 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 861390-35-4 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-fluorophenyl)-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 681003-30-5 CMF C18 H17 F N2 O4 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 861390-48-9 CAPLUS

CN 2-Oxazolamine, N-(2-methoxyphenyl)-5-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 861390-62-7 CAPLUS

CN Benzenemethanol, 3-[(5-phenyl-2-oxazolyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 861390-63-8 CAPLUS

CN 2-Oxazolamine, N-(3,4-dimethoxyphenyl)-5-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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RN 861390-65-0 CAPLUS

CN 2-Oxazolamine, N-(3,5-dimethoxyphenyl)-5-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 861390-66-1 CAPLUS

CN 2-Oxazolamine, 5-phenyl-N-(3,4,5-trimethoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 861390-82-1 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(4-fluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN

IT 681002-89-1 681003-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(2-anilino-5-aryloxazoles as a class of VEGFR2 kinase inhibitors)

681002-89-1 CAPLUS

2-Oxazolamine. 5-(3-bromophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-

CN 2-Oxazolamine, 5-(3-bromophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-63-4 CAPLUS

CN 2-Oxazolamine, 5-(3-ethenylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

IT 681003-44-1P 681003-90-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(2-anilino-5-aryloxazoles as a class of VEGFR2 kinase inhibitors)

RN 681003-44-1 CAPLUS

CN Benzenesulfonyl fluoride, 3-[[5-(3-bromophenyl)-2-oxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-90-7 CAPLUS

CN Benzenesulfonyl fluoride, 4-methoxy-3-[[5-[3-(3-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:331949 CAPLUS

DOCUMENT NUMBER: 140:339318

TITLE: Preparation of 1,3-oxazol-2-amines as VEGFR2, CDK2,

and CDK4 inhibitors

Brown, Matthew Lee; Cheung, Mui; Dickerson, Scott INVENTOR(S):

Howard; Gauthier, Cassandra; Harris, Philip Anthony; Hunter, Robert Neil, III; Pacofsky, Gregory; Peel,

Michael Robert; Stafford, Jeffrey Alan

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA PCT Int. Appl., 213 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.					DATE					
						-								-				
WO	WO 2004032882				A2		20040422		WO 2003-US33317					20031010				
WO	WO 2004032882				A3	A3 20040708												
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	ΝZ,	
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	ΗU,	ΙĒ,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
EP	EP 1551813									EP 2003-781357					20031010			
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
JP 2006503081				T2	20060126			JP 2004-543799				20031010						
US 2005288515					A1	20051229			US 2005-530810				20050408					
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	002-4	41754	48P	1	P 20	0021	010	
									1	WO 2	003-1	JS33:	317	1	1 20	0031	010	

OTHER SOURCE(S): MARPAT 140:339318

The title compds. [I; D1 = (un)substituted aryl, heteroaryl, heterocyclyl; D2 = H, alkyl; D3 = (un) substituted aryl, heteroaryl] which are useful as VEGFR2, CDK2, and CDK4 inhibitors in the treatment of hyperproliferative diseases, were prepared E.g., a 5-step synthesis of I [D1 = 3-MeOC6H4; D2 = H; D3 = Ph], starting from 2-bromo-1-(3-methoxyphenyl)ethanone, was given. Different compds. I are particularly effective at inhibiting CDK2 and/or

CDK4 enzymes at 0.0001 to 1 μM and addnl. show specificity relative to other kinases. The specific data for representative compds. I are given. The pharmaceutical compns. comprising the compound I are claimed. 681001-91-2P, 5-(3-Methoxyphenyl)-N-phenyl-1,3-oxazol-2-amine IT **681001-94-5P**, 5-(3-Methoxyphenyl)-N-[4-(4-methylpiperazin-1yl)phenyl]-1,3-oxazol-2-amine 681002-89-1P, 5-(3-Bromophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-amine 681003-22-5P, 4-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3oxazol-5-yl)benzonitrile 681003-24-7P, 5-(4-Bromophenyl)-N-[5-(ethylsulfonyl) -2-methoxyphenyl] -1, 3-oxazol-2-amine 681003-28-1P , 3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5yl)benzonitrile 681003-45-2P, 3-(2-[[5-(Ethylsulfonyl)-2methoxyphenyl]amino]-1,3-oxazol-5-yl)phenyl benzoate 681003-46-3P 3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)phenol 681003-56-5P, 5-[3-[(2-Chloropyrimidin-4-yl))oxy]phenyl]-N-[5-(ethylsulfonyl) -2-methoxyphenyl] -1, 3-oxazol-2-amine 681003-88-3P , 1-[3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5yl)phenyl]ethanone 681003-91-8P, 4-Methoxy-3-[[5-(3-(pyridin-2yl)phenyl)-1,3-oxazol-2-yl]amino]benzenesulfonyl fluoride 681004-06-8P, Methyl 3'-(2-[[5-(ethylsulfonyl)-2methoxyphenyl]amino]-1,3-oxazol-5-yl]-1,1'-biphenyl-4-carboxylate 681004-31-9P, 3'-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3oxazol-5-yl)-1,1'-biphenyl-4-carboxylic acid RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 1,3-oxazol-2-amines as VEGFR2, CDK2, and CDK4 inhibitors for treating cancer) RN681001-91-2 CAPLUS 2-Oxazolamine, 5-(3-methoxyphenyl)-N-phenyl- (9CI) (CA INDEX NAME) CN

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RN 681002-89-1 CAPLUS

CN 2-Oxazolamine, 5-(3-bromophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-22-5 CAPLUS

CN Benzonitrile, 4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 681003-24-7 CAPLUS

CN 2-Oxazolamine, 5-(4-bromophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-28-1 CAPLUS CN Benzonitrile, 3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 681003-45-2 CAPLUS
CN Phenol, 3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-,
benzoate (ester) (9CI) (CA INDEX NAME)

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RN 681003-46-3 CAPLUS

CN Phenol, 3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 681003-56-5 CAPLUS

CN 2-Oxazolamine, 5-[3-[(2-chloro-4-pyrimidinyl)oxy]phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-88-3 CAPLUS

CN Ethanone, 1-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-91-8 CAPLUS

CN Benzenesulfonyl fluoride, 4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2-

10530810.trn

oxazolyl]amino] - (9CI) (CA INDEX NAME)

RN 681004-06-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 681004-31-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[2-[[5-(ethylsulfonyl)-2methoxyphenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

IT 135307-33-4P 681001-92-3P, 3-(2-(Phenylamino)-1,3-oxazol5-yl)phenol 681001-93-4P, N-[4-(4-Methylpiperazin-1-yl)phenyl]-5phenyl-1,3-oxazol-2-amine 681001-95-6P, 5-(3-Ethoxyphenyl)-N-[4(4-methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-amine 681001-96-7P,
N-[4-(4-Ethylpiperazin-1-yl)phenyl]-5-(3-methoxyphenyl)-1,3-oxazol-2-amine
681001-97-8P, N-[4-(4-Ethylpiperazin-1-yl)phenyl]-5-phenyl-1,3oxazol-2-amine 681001-98-9P, N-[4-(Morpholin-4-ylmethyl)phenyl]5-phenyl-1,3-oxazol-2-amine 681001-99-0P, 5-(3-Methoxyphenyl)-N(4-(morpholin-4-yl)phenyl)-1,3-oxazol-2-amine 681002-00-6P,
5-(3-Methoxyphenyl)-N-(4-(piperidin-1-yl)phenyl)-1,3-oxazole-2-amine
681002-01-7P, 5-(3-Methoxyphenyl)-N-(4-((morpholin-4-

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yl)methyl)phenyl)-1,3-oxazole-2-amine 681002-02-8P,
5-(3-Isopropoxyphenyl)-N-[4-(4-methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-
amine 681002-03-9P, 5-[3-(Cyclopentyloxy)phenyl]-N-[4-(4-
methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-amine 681002-04-0P,
5-(3-Isobutoxyphenyl)-N-[4-(4-methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-
amine 681002-05-1P, 5-[3-(Benzyloxy)phenyl]-N-[4-(4-
methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-amine 681002-06-2P,
N-[4-(4-Methylpiperazin-1-yl)phenyl]-5-[3-[(2-methylprop-2-
enyl)oxy]phenyl]-1,3-oxazol-2-amine 681002-07-3P,
N-[4-(4-Methylpiperazin-1-yl)phenyl]-5-(3-propoxyphenyl)-1,3-oxazol-2-
amine 681002-08-4P, 5-[3-(Cyclohexyloxy)phenyl]-N-[4-(4-
methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-amine 681002-09-5P,
N-[3-Chloro-4-(4-methylpiperazin-1-yl)phenyl]-5-(3-methoxyphenyl)-1,3-
oxazol-2-amine 681002-10-8P, N-[3-Fluoro-4-(4-methylpiperazin-1-
yl)phenyl]-5-(3-methoxyphenyl)-1,3-oxazol-2-amine 681002-11-9P,
5-(3-Methoxyphenyl)-N-[4-(4-methylpiperazin-1-yl)-3-
(trifluoromethyl)phenyl]-1,3-oxazol-2-amine 681002-12-0P,
5-(3-Methoxyphenyl)-N-[3-methyl-4-(4-methylpiperazin-1-yl)phenyl]-1,3-
oxazol-2-amine 681002-13-1P, N-[4-(3,5-Dimethylpiperazin-1-
yl)phenyl]-5-(3-methoxyphenyl)-1,3-oxazol-2-amine 681002-14-2P,
5-(3-Methoxyphenyl)-N-[2-methyl-4-(4-methylpiperazin-1-yl)phenyl]-1,3-
oxazol-2-amine 681002-15-3P, 5-[3-(Cyclopentyloxy)phenyl]-N-[4-
(4-methylpiperazin-1-yl)-3-(trifluoromethyl)phenyl]-1,3-oxazol-2-amine
681002-16-4P, N-[3-Chloro-4-(4-methylpiperazin-1-yl)phenyl]-5-[3-
(cyclopentyloxy) phenyl] -1, 3-oxazol-2-amine 681002-17-5P,
5-[3-(Cyclopentyloxy)phenyl]-N-[3-methyl-4-(4-methylpiperazin-1-yl)phenyl]-
1,3-oxazol-2-amine 681002-18-6P, 5-[3-(Cyclopentyloxy)phenyl]-N-
[3-fluoro-4-(4-methylpiperazin-1-yl)phenyl]-1,3-oxazol-2-amine
681002-19-7P, 3-(2-[[4-(4-Methylpiperazin-1-yl)phenyl]amino]-1,3-
oxazol-5-yl)phenol 681002-20-0P 681002-28-8P,
5-(3-Methoxyphenyl)-N-[4-[(4-methylpiperazin-1-yl)methyl]phenyl]-1,3-
oxazol-2-amine 681002-29-9P, N-[4-[(4-Methylpiperazin-1-
yl)methyl]phenyl]-5-phenyl-1,3-oxazol-2-amine 681002-30-2P,
N-[4-[(Dimethylamino)methyl]phenyl]-5-(3-methoxyphenyl)-1,3-oxazol-2-amine
681002-31-3P, 5-[3-(Cyclopentyloxy)phenyl]-N-[4-
[(dimethylamino)methyl]phenyl]-1,3-oxazol-2-amine 681002-32-4P,
N-[4-[2-(Dimethylamino)ethyl]phenyl]-5-(3-methoxyphenyl)-1,3-oxazol-2-
amine 681002-33-5P, 5-(3-Methoxyphenyl)-N-[4-((piperidin-1-
yl)methyl)phenyl]-1,3-oxazol-2-amine 681002-34-6P,
5-(3-Methoxyphenyl)-N-[4-((pyrrolidin-1-yl)methyl)phenyl]-1,3-oxazol-2-
amine 681002-35-7P, N-[4-[(Diethylamino)methyl]phenyl]-5-(3-
methoxyphenyl)-1,3-oxazol-2-amine 681002-36-8P,
N-[2-(Diethylamino)ethyl]-4-[[5-(3-methoxyphenyl)-1,3-oxazol-2-
yl]amino]benzamide 681002-37-9P, 5-(3-Methoxyphenyl)-N-[4-[(4-
methylpiperazin-1-yl)carbonyl]phenyl]-1,3-oxazol-2-amine
681002-38-0P, 4-([5-[3-(Cyclopentyloxy)phenyl]-1,3-oxazol-2-
yl]amino)-N-[2-(diethylamino)ethyl]benzamide 681002-40-4P,
N-Methyl-1-[4-[(5-phenyl-1,3-oxazol-2-yl)amino]phenyl]methanesulfonamide
681002-41-5P, N-[4-[(Methylsulfonyl)methyl]phenyl]-5-phenyl-1,3-
oxazol-2-amine 681002-42-6P, N,N-Diethyl-4-methoxy-3-[(5-phenyl-
1,3-oxazol-2-yl)amino]benzenesulfonamide 681002-43-7P,
N-Butyl-4-methoxy-3-[(5-phenyl-1,3-oxazol-2-yl)amino]benzenesulfonamide
681002-44-8P, N-(3,4-Dimethoxyphenyl)-5-phenyl-1,3-oxazol-2-amine
681002-45-9P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-phenyl-1,3-
oxazol-2-amine 681002-46-0P, 5-Phenyl-N-[3-
(phenylsulfonyl)phenyl]-1,3-oxazol-2-amine 681002-47-1P,
N, N-Diethyl-4-[(5-phenyl-1,3-oxazol-2-yl)amino]benzamide
681002-48-2P, 4-(Ethylsulfonyl)-2-[(5-phenyl-1,3-oxazol-2-
yl)amino]phenol 681002-49-3P, N-(2-Methoxyphenyl)-5-phenyl-1,3-
oxazol-2-amine 681002-50-6P, N-Butyl-3-[(5-phenyl-1,3-oxazol-2-
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yl)amino]benzenesulfonamide 681002-51-7P,
N, N-Dimethyl-3-[(5-phenyl-1,3-oxazol-2-yl)amino]benzenesulfonamide
681002-52-8P, 2,5-Dimethoxy-4-[(5-phenyl-1,3-oxazol-2-
yl)amino]benzenesulfonamide 681002-53-9P, N-(2-Methoxy-5-
nitrophenyl)-5-phenyl-1,3-oxazol-2-amine 681002-54-0P,
2-[4-[(5-Phenyl-1,3-oxazol-2-yl)amino]phenyl]ethanol 681002-55-1P
, 1-[4-Methoxy-3-[(5-phenyl-1,3-oxazol-2-yl)amino]phenyl]ethanone
681002-56-2P, [3-[(5-Phenyl-1,3-oxazol-2-yl)amino]phenyl]methanol
681002-57-3P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-
methoxyphenyl) -1, 3-oxazol-2-amine 681002-58-4P,
4-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)phenol
681002-59-5P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxy-N, N-dimethylbenzenesulfonamide 681002-60-8P,
N-[5-(Ethylsulfonyl)-2-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-5-(4-imidazol-1-yl)ethoxy]
fluorophenyl) -1, 3-oxazol-2-amine 681002-61-9P,
N-[5-(Ethylsulfonyl)-2-[2-(pyridin-2-yl)ethoxy]phenyl]-5-phenyl-1,3-oxazol-
2-amine 681002-62-0P, N-[5-(Ethylsulfonyl)-2-[2-(1H-1,2,3-
triazol-1-yl)ethoxy[phenyl]-5-phenyl-1,3-oxazol-2-amine
681002-63-1P, 5-Phenyl-N-(3,4,5-trimethoxyphenyl)-1,3-oxazol-2-
amine 681002-64-2P, N-(2,5-Dimethoxyphenyl)-5-phenyl-1,3-oxazol-
2-amine 681002-65-3P, 3-Methyl-5-[(5-phenyl-1,3-oxazol-2-
yl) amino] benzene-1,2-diol 681002-66-4P, N-(3,5-Dimethoxyphenyl) -
5-phenyl-1,3-oxazol-2-amine 681002-67-5P, N-(3-Methylphenyl)-5-
phenyl-1,3-oxazol-2-amine 681002-68-6P, N-[3-[2-(1H-Imidazol-1-
yl)ethoxy]-4-methoxyphenyl]-5-phenyl-1,3-oxazol-2-amine
681002-69-7P, N-[4-[2-(1H-Imidazol-1-yl)ethoxy]-3-methoxyphenyl]-5-
phenyl-1,3-oxazol-2-amine 681002-70-0P, N-[5-(Ethylsulfonyl)-2-
(trifluoromethoxy)phenyl]-5-(4-fluorophenyl)-1,3-oxazol-2-amine
681002-71-1P, 5-(4-Fluorophenyl)-N-[2-methoxy-5-
[(methylsulfonyl)methyl]phenyl]-1,3-oxazol-2-amine 681002-72-2P,
N-(5-[[5-(3-Iodophenyl)-1,3-oxazol-2-yl]amino]-2-
methylphenyl) methanesulfonamide 681002-73-3P,
3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino)-N,N-
dimethylbenzenesulfonamide 681002-74-4P, N-[3-
(Ethylsulfonyl)phenyl]-5-(4-fluorophenyl)-1,3-oxazol-2-amine
681002-75-5P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxy-N-(pyridin-2-ylmethyl)benzenesulfonamide 681002-76-6P,
5-(4-Fluorophenyl)-N-[2-methoxy-5-(methylsulfonyl)phenyl]-1,3-oxazol-2-
amine 681002-77-7P, N-[2-Methoxy-5-[(2-(pyridin-2-
y1)ethy1)sulfony1]pheny1]-5-pheny1-1,3-oxazol-2-amine 681002-78-8P
3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxy-
benzenesulfonamide 681002-79-9P, N-[5-[(1-Ethylpropyl)sulfonyl]-
2-methoxyphenyl]-5-(4-fluorophenyl)-1,3-oxazol-2-amine
681002-80-2P, 5-(4-Fluorophenyl)-N-(2-methoxy-5-[[(5-
methylisoxazol-3-yl)methyl]sulfonyl]phenyl)-1,3-oxazol-2-amine
681002-81-3P, 3-[[5-(3-Bromophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxybenzenesulfonamide 681002-82-4P, 5-(4-Fluorophenyl)-N-[5-
(isobutylsulfonyl) -2-methoxyphenyl] -1,3-oxazol-2-amine
681002-83-5P, 5-(4-Fluorophenyl)-N-[2-methoxy-5-[([tetrahydrofuran-
2-yl]methyl)sulfonyl]phenyl]-1,3-oxazol-2-amine 681002-84-6P,
5-(4-Fluorophenyl)-N-[2-methoxy-5-[(tetrahydrofuran-3-yl)sulfonyl]phenyl]-
1,3-oxazol-2-amine 681002-85-7P, 5-(4-Fluorophenyl)-N-[2-methoxy-
5-[[2-(4-methyl-1,3-thiazol-5-yl)ethyl]sulfonyl]phenyl]-1,3-oxazol-2-amine
681002-86-8P, 5-(4-Fluorophenyl)-N-[5(isopropylsulfonyl)-2-
methoxyphenyl]-1,3-oxazol-2-amine 681002-87-9P,
5-(3-Bromophenyl)-N-[5-(isopropylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-
amine 681002-88-0P, 5-(4-Fluorophenyl)-N-[5-[[2-(1H-imidazol-2-
yl)ethyl]sulfonyl]-2-methoxyphenyl]-1,3-oxazol-2-amine
681002-90-4P, 5-(3-Bromophenyl)-N-[2-methoxy-5-[[2-(4-methyl-1,3-
thiazol-5-yl)ethyl]sulfonyl]phenyl]-1,3-oxazol-2-amine
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681002-91-5P, N-(2-Ethoxyphenyl)-5-(3-methoxyphenyl)-1,3-oxazol-2-
amine 681002-92-6P, N-(3,4-Dimethoxyphenyl)-5-(3-methoxyphenyl)-
1,3-oxazol-2-amine 681002-93-7P, N-(3,4-Dimethoxyphenyl)-5-(4-
fluorophenyl)-1,3-oxazol-2-amine 681002-94-8P,
N-(3,4-Dimethoxyphenyl)-5-(4-methylphenyl)-1,3-oxazol-2-amine
681002-95-9P, 5-(3,4-Dichlorophenyl)-N-(3,4-dimethoxyphenyl)-1,3-
oxazol-2-amine 681002-96-0P, 5-[4-(Diethylamino)phenyl]-N-(3,4-
dimethoxyphenyl)-1,3-oxazol-2-amine 681002-97-1P,
5-(4-Chloro-3-methylphenyl)-N-(3,4-dimethoxyphenyl)-1,3-oxazol-2-amine
681002-98-2P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(4-
fluorophenyl) -1, 3-oxazol-2-amine 681002-99-3P,
5-(3,4-Difluorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-
amine 681003-00-9P, 4-Chloro-3-[[5-(4-fluorophenyl)-1,3-oxazol-2-
yl]amino]-N, N-dimethylbenzenesulfonamide 681003-01-0P,
4-Chloro-N, N-diethyl-3-[[5-(4-fluorophenyl)-1,3-oxazol-2-
yl]amino]benzenesulfonamide 681003-02-1P, 5-(4-Fluorophenyl)-N-
[3-(methylsulfonyl)phenyl]-1,3-oxazol-2-amine 681003-03-2P,
N-[2-Chloro-5-(methylsulfonyl)phenyl]-5-(4-fluorophenyl)-1,3-oxazol-2-
amine 681003-04-3P, N-[2-Chloro-5-(ethylsulfonyl)phenyl]-5-(4-
fluorophenyl)-1,3-oxazol-2-amine 681003-05-4P,
5-(4-Fluorophenyl)-N-(3,4,5-trimethoxyphenyl)-1,3-oxazol-2-amine
681003-06-5P, 5-(3-Bromophenyl)-N-(3,4,5-trimethoxyphenyl)-1,3-
oxazol-2-amine 681003-07-6P, 4-Methoxy-N-(2-(morpholin-4-
yl)ethyl)-3-[(5-phenyl-1,3-oxazol-2-yl)amino]benzenesulfonamide
681003-08-7P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxy-N-(3-(pyrrolidin-1-yl)propyl)benzenesulfonamide
681003-09-8P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-N-[3-
(1H-imidazol-1-yl)propyl]-4-methoxybenzenesulfonamide 681003-10-1P
, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxy-N-(pyridin-3-
ylmethyl)benzenesulfonamide 681003-11-2P, 3-[[5-(4-Fluorophenyl)-
1,3-oxazol-2-yl]amino]-4-methoxy-N-(pyridin-4-ylmethyl)benzenesulfonamide
681003-12-3P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-N-
isopropyl-4-methoxybenzenesulfonamide 681003-13-4P,
3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxy-N-(tetrahydrofuran-
2-ylmethyl)benzenesulfonamide 681003-14-5P, 5-(4-Fluorophenyl)-N-
[2-methoxy-5-((morpholin-4-yl)sulfonyl)phenyl]-1,3-oxazol-2-amine
681003-15-6P, 5-(4-Fluorophenyl)-N-[2-methoxy-5-((4-
methylpiperazin-1-yl)sulfonyl)phenyl]-1,3-oxazol-2-amine
681003-16-7P 681003-17-8P, N-(Cyclopropylmethyl)-3-[[5-
(4-fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxybenzenesulfonamide
681003-18-9P, 3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxy-N-(3-methoxypropyl)benzenesulfonamide 681003-19-0P,
3-[[5-(4-Fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxy-N-
methylbenzenesulfonamide 681003-20-3P, N-(2-Ethoxyethyl)-3-[[5-
(4-fluorophenyl)-1,3-oxazol-2-yl]amino]-4-methoxybenzenesulfonamide
681003-21-4P, 5-(4-Chlorophenyl)-N-[5-(ethylsulfonyl)-2-
methoxyphenyl]-1,3-oxazol-2-amine 681003-23-6P,
4-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)benzamide
681003-26-9P, 5-(3-Chlorophenyl)-N-[5-(ethylsulfonyl)-2-
methoxyphenyl]-1,3-oxazol-2-amine 681003-29-2P,
3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)benzamide
681003-30-5P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-
fluorophenyl)-1,3-oxazol-2-amine 681003-31-6P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-trifluoromethylphenyl)-1,3-
oxazol-2-amine 681003-32-7P, 5-(3,4-Dichlorophenyl)-N-[5-
(ethylsulfonyl) -2-methoxyphenyl] -1,3-oxazol-2-amine 681003-33-8P
, 5-(4-Chloro-3-methylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-
oxazol-2-amine 681003-35-0P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-(2-naphthyl)-1,3-oxazol-2-amine 681003-36-1P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(5,5,8,8-tetramethyl-5,6,7,8-
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tetrahydronaphthalen-2-yl)-1,3-oxazol-2-amine 681003-37-2P,
5-(2,3-Dihydro-1,4-benzodioxin-6-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-
1,3-oxazol-2-amine 681003-38-3P, 5-(3,5-Difluorophenyl)-N-[5-
(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-amine 681003-39-4P
, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[4-(methylsulfonyl)phenyl]-1,3-
oxazol-2-amine 681003-40-7P, 5-(3,4-Dimethoxyphenyl)-N-[5-
(ethylsulfonyl) -2-methoxyphenyl] -1,3-oxazol-2-amine 681003-41-8P
, 5-(3,4-Dihydro-2H-1,5-benzodioxepin-7-yl)-N-[5-(ethylsulfonyl)-2-
methoxyphenyl]-1,3-oxazol-2-amine 681003-43-0P, Methyl
3-[[5-(3-bromophenyl)-1,3-oxazol-2-yl]amino)-4-methoxybenzoate
681003-44-1P, 3-[[5-(3-Bromophenyl)-1,3-oxazol-2-yl]amino]-4-
methoxybenzenesulfonyl fluoride 681003-47-4P,
5-[3-(Cyclopropylmethoxy)phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-
oxazol-2-amine 681003-48-5P, 5-(3-Butoxyphenyl)-N-[5-
(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-amine 681003-49-6P
  N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(pyridin-2-ylmethoxy)phenyl]-
1,3-oxazol-2-amine 681003-50-9P, 5-(3-Benzyloxyphenyl)-N-[5-
(ethylsulfonyl) -2-methoxyphenyl] -1,3-oxazol-2-amine 681003-51-0P
, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(tetrahydro-2H-pyran-4-
yloxy)phenyl]-1,3-oxazol-2-amine 681003-52-1P,
N-[5-(Ethy]sulfony1)-2-methoxypheny1]-5-[3-(2-(pyridin-2-y1)ethoxy)pheny1]-
1,3-oxazol-2-amine 681003-53-2P, 5-[3-[(2,3-
Dimethoxybenzyl)oxy]phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-
oxazol-2-amine 681003-54-3P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-[3-(1-(pyridin-4-yl)ethoxy)phenyl]-1,3-oxazol-2-amine
681003-55-4P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-
(tetrahydrofuran-3-yloxy)phenyl]-1,3-oxazol-2-amine 681003-57-6P
  4-[3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-
yl)phenoxy]-N-isopropylpyrimidin-2-amine 681003-58-7P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-phenoxyphenyl)-1,3-oxazol-2-
amine 681003-59-8P, 5-(3',5'-Difluoro-1,1'-biphenyl-3-yl)-N-[5-
(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-amine 681003-60-1P
, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-(thien-2-yl)phenyl)-1,3-
oxazol-2-amine 681003-61-2P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-(3-(thien-3-yl)phenyl)-1,3-oxazol-2-amine
681003-62-3P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-(pyridin-
3-yl)phenyl)-1,3-oxazol-2-amine 681003-63-4P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-vinylphenyl)-1,3-oxazol-2-amine
681003-64-5P, 5-(3-Ethylphenyl)-N-[5-(ethylsulfonyl)-2-
methoxyphenyl]-1,3-oxazol-2-amine 681003-65-6P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-(pyridin-4-yl)phenyl)-1,3-
oxazol-2-amine 681003-66-7P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-amine
681003-67-8P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1-methyl-
1H-imidazol-5-yl)phenyl]-1,3-oxazol-2-amine 681003-68-9P,
5-(1,1'-Biphenyl-3-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-
amine 681003-69-0P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-
(2-furyl)phenyl]-1,3-oxazol-2-amine 681003-70-3P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(pyrazin-2-yl)phenyl]-1,3-
oxazol-2-amine 681003-71-4P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5(4'-fluoro-1,1'-biphenyl-3-yl)-1,3-oxazol-2-amine
681003-72-5P, 5-[3-(2,3-Dihydrobenzofuran-5-yl)phenyl]-N-[5-
(ethylsulfonyl)-2-methoxyphenyl]-1,3-oxazol-2-amine 681003-73-6P
, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1,3-thiazol-2-yl)phenyl]-1,3-
oxazol-2-amine 681003-74-7P, 4-Methoxy-3-[[5-(3-(pyridin-3-
yl)phenyl)-1,3-oxazol-2-yl]amino]benzenesulfonamide 681003-75-8P
, 3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-4-
methoxybenzenesulfonamide 681003-76-9P, 4-Methoxy-3-[[5-(3-(1-
methyl-1H-imidazol-5-yl)phenyl)-1,3-oxazol-2-yl]amino]benzenesulfonamide
681003-77-0P, 3-[[5-(4'-Fluoro-1,1'-biphenyl-3-yl)-1,3-oxazol-2-
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yl]amino]-4-methoxy-N-methylbenzenesulfonamide 681003-78-1P,
Methyl 4-methoxy-3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-
yl]amino]benzoate 681003-79-2P, 3-[[5-(4'-Fluoro-1,1'-biphenyl-3-
yl)-1,3-oxazol-2-yl]amino]-4-methoxybenzenesulfonamide
681003-80-5P, N-[5-[(1-Ethylpropyl)sulfonyl]-2-methoxyphenyl]-5-(3-
(pyridin-2-yl)phenyl)-1,3-oxazol-2-amine 681003-81-6P,
N-[5-(Isopropylsulfonyl)-2-methoxyphenyl]-5-(3-(pyridin-2-yl)phenyl)-1,3-
oxazol-2-amine 681003-82-7P, N-[2-Methoxy-5-(tetrahydrofuran-3-
ylsulfonyl)phenyl]-5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-amine
681003-83-8P, N-[5-(Isobutylsulfonyl)-2-methoxyphenyl]-5-(3-
(pyridin-2-yl)phenyl)-1,3-oxazol-2-amine 681003-84-9P,
5-(1,1'-Biphenyl-3-yl)-N-[2-methoxy-5-[(1-(pyridin-4-
yl)ethyl)sulfonyl]phenyl]-1,3-oxazol-2-amine 681003-85-0P,
N-[2-Methoxy-5-[(tetrahydrofuran-2-ylmethyl)sulfonyl]phenyl]-5-(3-(pyridin-
2-yl)phenyl)-1,3-oxazol-2-amine 681003-86-1P,
N-[2-Methoxy-5-[[2-(4-methyl-1,3-thiazol-5-yl)ethyl]sulfonyl]phenyl)-5-(3-methoxy-5-[[2-(4-methyl-1,3-thiazol-5-yl)ethyl]sulfonyl]phenyl)-5-(3-
(pyridin-2-yl)phenyl)-1,3-oxazol-2-amine 681003-87-2P,
5-(1,1'-Biphenyl-3-yl)-N-(3,4,5-trimethoxyphenyl)-1,3-oxazol-2-amine
681003-89-4P, 1-[4-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-
1,3-oxazol-5-yl)phenyl]ethanone 681003-90-7P,
4-Methoxy-3-[[5-(3-(pyridin-3-yl)phenyl)-1,3-oxazol-2-
yl]amino]benzenesulfonyl fluoride 681003-92-9P,
3'-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)-1,1'-
biphenyl-4-carbonitrile 681003-95-2P, 3'-(2-[[5-(Ethylsulfonyl)-
2-methoxyphenyl]amino]-1,3-oxazol-5-yl)-1,1'-biphenyl-3-carboxylic acid
681003-98-5P, 3'-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-
oxazol-5-yl)-1,1'-biphenyl-3-carbonitrile 681004-01-3P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3'-fluoro-1,1'-biphenyl-3-yl)-1,3-
oxazol-2-amine 681004-03-5P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-(3-(quinolin-3-yl)phenyl)-1,3-oxazol-2-amine
681004-04-6P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-(3-(5-
methylthien-2-yl)phenyl)-1,3-oxazol-2-amine 681004-05-7P,
N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1H-indol-5-yl)phenyl]-1,3-
oxazol-2-amine 681004-07-9P, 3-[[5-(3'-Fluoro-1,1'-biphenyl-3-
yl)-1,3-oxazol-2-yl]amino]-4-methoxy-N-methylbenzenesulfonamide
681004-08-0P, 3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-4-
methoxybenzenesulfonyl fluoride 681004-09-1P,
3-[[5-(3'-Fluoro-1,1'-biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-4-
methoxybenzenesulfonamide 681004-10-4P, N-[5-(Ethylsulfonyl)-2-
methoxyphenyl]-5-(2'-fluoro-1,1'-biphenyl-3-yl)-1,3-oxazol-2-amine
681004-11-5P, 5-(2'-Chloro-1,1'-biphenyl-3-yl)-N-[5-(ethylsulfonyl)-
2-methoxyphenyl]-1,3-oxazol-2-amine 681004-12-6P,
4-Methoxy-N-methyl-3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-
yl]amino]benzenesulfonamide 681004-13-7P, N-Ethyl-4-methoxy-3-
[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-yl]amino]benzenesulfonamide
681004-14-8P, 4-Methoxy-3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-
2-yl]amino]benzenesulfonamide 681004-15-9P, N-Isopropyl-4-
methoxy-3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-
yl]amino]benzenesulfonamide 681004-16-0P, N-(Cyclopropylmethyl)-
4-methoxy-3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-
yl]amino]benzenesulfonamide 681004-17-1P, N,N-Diethyl-4-methoxy-
3-[[5-(3-(pyridin-2-yl)phenyl)-1,3-oxazol-2-yl]amino]benzenesulfonamide
681004-18-2P, N-Isopropyl-4-methoxy-3-[[5-(3-(pyridin-3-yl)phenyl)-
1,3-oxazol-2-yl]amino]benzenesulfonamide 681004-19-3P,
3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-N-isopropyl-4-
methoxybenzenesulfonamide 681004-20-6P, 3-[[5-(1,1'-Biphenyl-3-
yl)-1,3-oxazol-2-yl]amino]-4-methoxy-N,N-dimethylbenzenesulfonamide
681004-21-7P, 3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-N-
cyclopropyl-4-methoxybenzenesulfonamide 681004-22-8P,
3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-N-butyl-4-
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methoxybenzenesulfonamide 681004-23-9P, 3-[[5-(1,1'-Biphenyl-3-
    y1)-1,3-oxazol-2-y1]amino]-N,N-diethyl-4-methoxybenzenesulfonamide
     681004-24-0P, 3-[[5-(1,1'-Biphenyl-3-yl)-1,3-oxazol-2-yl]amino]-4-
     methoxy-N-(tetrahydrofuran-2-ylmethyl)benzenesulfonamide
     681004-25-1P, 4-[3-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-
     1,3-oxazol-5-yl)phenyl]-N-isopropylpyrimidin-2-amine 681004-26-2P
     , N-Benzyl-4-[3-(2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-
     yl)phenyl]pyrimidin-2-amine 681004-27-3P 681004-28-4P,
     N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-phenylpyrimidin-4-yl)phenyl]-
     1,3-oxazol-2-amine 681004-29-5P, N-[5-(Ethylsulfonyl)-2-
     methoxyphenyl]-5-[3-(2-isopropylpyrimidin-4-yl)phenyl]-1,3-oxazol-2-amine
     681004-30-8P, 5-[3-(2-tert-Butylpyrimidin-4-yl)phenyl]-N-[5-
     (ethylsulfonyl) -2-methoxyphenyl] -1, 3-oxazol-2-amine 681004-32-0P
     , 3'-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)-N-(2-
     (morpholin-4-yl)ethyl)-1,1'-biphenyl-4-carboxamide 681004-33-1P,
     3'-(2-[[5-(Ethylsulfonyl)-2-methoxyphenyl]amino]-1,3-oxazol-5-yl)-N-[3-(4-
     methylpiperazin-1-yl)propyl]-1,1'-biphenyl-4-carboxamide
     681004-82-0P, N-[5-(Ethylsulfonyl)-2-methoxyphenyl]-5-[4-
     (trifluoromethyl)phenyl]-1,3-oxazol-2-amine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of 1,3-oxazol-2-amines as VEGFR2, CDK2, and CDK4 inhibitors for
        treating cancer)
     135307-33-4 CAPLUS
RN
     2-Oxazolamine, N,5-diphenyl- (9CI) (CA INDEX NAME)
CN
RN
     681001-92-3 CAPLUS
CN
     Phenol, 3-[2-(phenylamino)-5-oxazolyl]- (9CI) (CA INDEX NAME)
PhNF
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RN 681001-95-6 CAPLUS

CN 2-Oxazolamine, 5-(3-ethoxyphenyl)-N-[4-(4-methyl-1-piperazinyl)phenyl]-(9CI) (CA INDEX NAME)

RN 681001-96-7 CAPLUS

CN 2-Oxazolamine, N-[4-(4-ethyl-1-piperazinyl)phenyl]-5-(3-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 681001-97-8 CAPLUS

CN 2-Oxazolamine, N-[4-(4-ethyl-1-piperazinyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681001-98-9 CAPLUS

CN 2-Oxazolamine, N-[4-(4-morpholinylmethyl)phenyl]-5-phenyl- (9CI) (CA

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INDEX NAME)

RN 681001-99-0 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-00-6 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-01-7 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(4-morpholinylmethyl)phenyl]-(9CI) (CA INDEX NAME)

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RN 681002-02-8 CAPLUS

CN 2-Oxazolamine, 5-[3-(1-methylethoxy)phenyl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-03-9 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-04-0 CAPLUS

CN 2-Oxazolamine, N-[4-(4-methyl-1-piperazinyl)phenyl]-5-[3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-05-1 CAPLUS

CN 2-Oxazolamine, N-[4-(4-methyl-1-piperazinyl)phenyl]-5-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-06-2 CAPLUS CN 2-Oxazolamine, N-[4-(4-methyl-1-piperazinyl)phenyl]-5-[3-[(2-methyl-2-propenyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-08-4 CAPLUS CN 2-Oxazolamine, 5-[3-(cyclohexyloxy)phenyl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-09-5 CAPLUS

CN 2-Oxazolamine, N-[3-chloro-4-(4-methyl-1-piperazinyl)phenyl]-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-10-8 CAPLUS

CN 2-Oxazolamine, N-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-11-9 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-12-0 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[3-methyl-4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-13-1 CAPLUS

CN 2-Oxazolamine, N-[4-(3,5-dimethyl-1-piperazinyl)phenyl]-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-14-2 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[2-methyl-4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-15-3 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[4-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-16-4 CAPLUS

CN 2-Oxazolamine, N-[3-chloro-4-(4-methyl-1-piperazinyl)phenyl]-5-[3-(cyclopentyloxy)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-17-5 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[3-methyl-4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-18-6 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-19-7 CAPLUS

CN Phenol, 3-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 681002-20-0 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[4-(4-thiomorpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-28-8 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-29-9 CAPLUS

CN 2-Oxazolamine, N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-5-phenyl-(9CI) (CA INDEX NAME)

RN 681002-30-2 CAPLUS

CN 2-Oxazolamine, N-[4-[(dimethylamino)methyl]phenyl]-5-(3-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 681002-31-3 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopentyloxy)phenyl]-N-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-32-4 CAPLUS

CN 2-Oxazolamine, N-[4-[2-(dimethylamino)ethyl]phenyl]-5-(3-methoxyphenyl)(9CI) (CA INDEX NAME)

RN 681002-33-5 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(1-piperidinylmethyl)phenyl](9CI) (CA INDEX NAME)

RN 681002-34-6 CAPLUS

CN 2-Oxazolamine, 5-(3-methoxyphenyl)-N-[4-(1-pyrrolidinylmethyl)phenyl](9CI) (CA INDEX NAME)

RN 681002-35-7 CAPLUS

CN 2-Oxazolamine, N-[4-[(diethylamino)methyl]phenyl]-5-(3-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 681002-36-8 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[5-(3-methoxyphenyl)-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \hline C-NH-CH_2-CH_2-NEt_2 \\ \hline N \\ O \end{array}$$

RN 681002-37-9 CAPLUS

CN Piperazine, 1-[4-[[5-(3-methoxyphenyl)-2-oxazolyl]amino]benzoyl]-4-methyl-(9CI) (CA INDEX NAME)

RN 681002-38-0 CAPLUS

CN Benzamide, 4-[[5-[3-(cyclopentyloxy)phenyl]-2-oxazolyl]amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 681002-40-4 CAPLUS

CN Benzenemethanesulfonamide, N-methyl-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ S - NHMe \\ 0 \\ \end{array}$$

RN 681002-41-5 CAPLUS

CN 2-Oxazolamine, N-[4-[(methylsulfonyl)methyl]phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 681002-42-6 CAPLUS

CN Benzenesulfonamide, N,N-diethyl-4-methoxy-3-[(5-phenyl-2-oxazolyl)amino]-(9CI) (CA INDEX NAME)

RN 681002-43-7 CAPLUS

RN 681002-44-8 CAPLUS

CN 2-Oxazolamine, N-(3,4-dimethoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-45-9 CAPLUS

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CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-46-0 CAPLUS

CN 2-Oxazolamine, 5-phenyl-N-[3-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681002-47-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O \\
C-NEt_2\\
\hline
Ph\end{array}$$

RN 681002-48-2 CAPLUS

CN Phenol, 4-(ethylsulfonyl)-2-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-49-3 CAPLUS

CN 2-Oxazolamine, N-(2-methoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-50-6 CAPLUS

CN Benzenesulfonamide, N-butyl-3-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-51-7 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-3-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-52-8 CAPLUS

CN Benzenesulfonamide, 2,5-dimethoxy-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \overset{O}{\mid \mid} \\ \text{S-} & \text{NH}_2 \\ \\ \text{O} \\ \\ \text{Ph} \end{array}$$

RN 681002-53-9 CAPLUS

CN 2-Oxazolamine, N-(2-methoxy-5-nitrophenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-54-0 CAPLUS

CN Benzeneethanol, 4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-55-1 CAPLUS

CN Ethanone, 1-[4-methoxy-3-[(5-phenyl-2-oxazolyl)amino]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-56-2 CAPLUS

CN Benzenemethanol, 3-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-57-3 CAPLUS

2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-methoxyphenyl)-CN (9CI) (CA INDEX NAME)

RN

681002-58-4 CAPLUS
Phenol, 4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]- (9CI) CN(CA INDEX NAME)

RN 681002-59-5 CAPLUS

Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N,Ndimethyl- (9CI) (CA INDEX NAME)

RN 681002-60-8 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 681002-61-9 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-[2-(2-pyridinyl)ethoxy]phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-62-0 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-[2-(1H-1,2,3-triazol-1-yl)ethoxy]phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-63-1 CAPLUS

CN 2-Oxazolamine, 5-phenyl-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-64-2 CAPLUS

CN 2-Oxazolamine, N-(2,5-dimethoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-65-3 CAPLUS

CN 1,2-Benzenediol, 3-methyl-5-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681002-66-4 CAPLUS

CN 2-Oxazolamine, N-(3,5-dimethoxyphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-67-5 CAPLUS

CN 2-Oxazolamine, N-(3-methylphenyl)-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-68-6 CAPLUS

CN 2-Oxazolamine, N-[3-[2-(1H-imidazol-1-yl)ethoxy]-4-methoxyphenyl]-5-phenyl-(9CI) (CA INDEX NAME)

RN 681002-69-7 CAPLUS

CN 2-Oxazolamine, N-[4-[2-(1H-imidazol-1-yl)ethoxy]-3-methoxyphenyl]-5-phenyl-(9CI) (CA INDEX NAME)

RN 681002-70-0 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-(trifluoromethoxy)phenyl]-5-(4fluorophenyl)- (9CI) (CA INDEX NAME)

RN 681002-71-1 CAPLUS
CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5[(methylsulfonyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-72-2 CAPLUS
CN Methanesulfonamide, N-[5-[[5-(3-iodophenyl)-2-oxazolyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 681002-73-3 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 681002-74-4 CAPLUS

CN 2-Oxazolamine, N-[3-(ethylsulfonyl)phenyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 681002-75-5 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 681002-76-6 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 681002-77-7 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[[2-(2-pyridinyl)ethyl]sulfonyl]phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 681002-78-8 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-(9CI) (CA INDEX NAME)

RN 681002-79-9 CAPLUS

CN 2-Oxazolamine, N-[5-[(1-ethylpropyl)sulfonyl]-2-methoxyphenyl]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 681002-80-2 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[[(5-methyl-3-isoxazolyl)methyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-81-3 CAPLUS

CN Benzenesulfonamide, 3-[[5-(3-bromophenyl)-2-oxazolyl]amino]-4-methoxy-(9CI) (CA INDEX NAME)

$$O = S - NH_2$$

$$N = NH_2$$

$$O = S - NH_2$$

RN 681002-82-4 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[(2-methylpropyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-83-5 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[[(tetrahydro-2-furanyl)methyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-84-6 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[(tetrahydro-3-furanyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-85-7 CAPLUS

CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[[2-(4-methyl-5-thiazolyl)ethyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-86-8 CAPLUS
CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[2-methoxy-5-[(1-methylethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-87-9 CAPLUS
CN 2-Oxazolamine, 5-(3-bromophenyl)-N-[2-methoxy-5-[(1-methylethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-88-0 CAPLUS
CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[5-[[2-(1H-imidazol-2-yl)ethyl]sulfonyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 681002-90-4 CAPLUS

CN 2-Oxazolamine, 5-(3-bromophenyl)-N-[2-methoxy-5-[[2-(4-methyl-5-thiazolyl)ethyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681002-91-5 CAPLUS

CN 2-Oxazolamine, N-(2-ethoxyphenyl)-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-92-6 CAPLUS

CN 2-Oxazolamine, N-(3,4-dimethoxyphenyl)-5-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-93-7 CAPLUS CN 2-Oxazolamine, N-(3,4-dimethoxyphenyl)-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 681002-94-8 CAPLUS CN 2-Oxazolamine, N-(3,4-dimethoxyphenyl)-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 681002-95-9 CAPLUS CN 2-Oxazolamine, 5-(3,4-dichlorophenyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-96-0 CAPLUS CN 2-Oxazolamine, 5-[4-(diethylamino)phenyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-97-1 CAPLUS CN 2-Oxazolamine, 5-(4-chloro-3-methylphenyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681002-98-2 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(4-fluorophenyl)(9CI) (CA INDEX NAME)

RN 681002-99-3 CAPLUS
CN 2-Oxazolamine, 5-(3,4-difluorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-00-9 CAPLUS
CN Benzenesulfonamide, 4-chloro-3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 681003-01-0 CAPLUS
CN Benzenesulfonamide, 4-chloro-N,N-diethyl-3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681003-02-1 CAPLUS CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-[3-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-03-2 CAPLUS CN 2-Oxazolamine, N-[2-chloro-5-(methylsulfonyl)phenyl]-5-(4-fluorophenyl)-

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(9CI) (CA INDEX NAME)

RN 681003-04-3 CAPLUS
CN 2-Oxazolamine, N-[2-chloro-5-(ethylsulfonyl)phenyl]-5-(4-fluorophenyl)(9CI) (CA INDEX NAME)

RN 681003-05-4 CAPLUS CN 2-Oxazolamine, 5-(4-fluorophenyl)-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681003-06-5 CAPLUS

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CN 2-Oxazolamine, 5-(3-bromophenyl)-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681003-07-6 CAPLUS

CN Benzenesulfonamide, 4-methoxy-N-[2-(4-morpholinyl)ethyl]-3-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 681003-08-7 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 681003-09-8 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-10-1 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 681003-11-2 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 681003-12-3 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

681003-13-4 CAPLUS RN

Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-CN [(tetrahydro-2-furanyl)methyl] - (9CI) (CA INDEX NAME)

RN

681003-14-5 CAPLUS
Morpholine, 4-[[3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN

681003-15-6 CAPLUS
Piperazine, 1-[[3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-CNmethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 681003-16-7 CAPLUS

CN Thiomorpholine, 4-[[3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 681003-17-8 CAPLUS

CN Benzenesulfonamide, N-(cyclopropylmethyl)-3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-18-9 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

RN 681003-19-0 CAPLUS
CN Benzenesulfonamide, 3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 681003-20-3 CAPLUS
CN Benzenesulfonamide, N-(2-ethoxyethyl)-3-[[5-(4-fluorophenyl)-2-oxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-21-4 CAPLUS CN 2-Oxazolamine, 5-(4-chlorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-23-6 CAPLUS
CN Benzamide, 4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl](9CI) (CA INDEX NAME)

RN 681003-26-9 CAPLUS
CN 2-Oxazolamine, 5-(3-chlorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl](9CI) (CA INDEX NAME)

RN 681003-29-2 CAPLUS
CN Benzamide, 3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl](9CI) (CA INDEX NAME)

RN 681003-30-5 CAPLUS CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-fluorophenyl)-(9CI) (CA INDEX NAME)

RN 681003-31-6 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-32-7 CAPLUS CN 2-Oxazolamine, 5-(3,4-dichlorophenyl)-N-[5-(ethylsulfonyl)-2-

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methoxyphenyl] - (9CI) (CA INDEX NAME)

RN 681003-33-8 CAPLUS

CN 2-Oxazolamine, 5-(4-chloro-3-methylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-35-0 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(2-naphthalenyl)-(9CI) (CA INDEX NAME)

RN 681003-36-1 CAPLUS

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CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 681003-37-2 CAPLUS

CN 2-Oxazolamine, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-38-3 CAPLUS

CN 2-Oxazolamine, 5-(3,5-difluorophenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-39-4 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-40-7 CAPLUS
CN 2-Oxazolamine, 5-(3,4-dimethoxyphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-41-8 CAPLUS
CN 2-Oxazolamine, 5-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-43-0 CAPLUS

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CN Benzoic acid, 3-[[5-(3-bromophenyl)-2-oxazolyl]amino]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)

RN 681003-44-1 CAPLUS

CN Benzenesulfonyl fluoride, 3-[[5-(3-bromophenyl)-2-oxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-47-4 CAPLUS

CN 2-Oxazolamine, 5-[3-(cyclopropylmethoxy)phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-48-5 CAPLUS

CN 2-Oxazolamine, 5-(3-butoxyphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-49-6 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-50-9 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-51-0 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-52-1 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-[2-(2-pyridinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-53-2 CAPLUS

CN 2-Oxazolamine, 5-[3-[(2,3-dimethoxyphenyl)methoxy]phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-54-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-[1-(4-pyridinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-55-4 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-[(tetrahydro-3-furanyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-57-6 CAPLUS

CN 2-Pyrimidinamine, 4-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 681003-58-7 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-phenoxyphenyl)-(9CI) (CA INDEX NAME)

RN 681003-59-8 CAPLUS
CN 2-Oxazolamine, 5-(3',5'-difluoro[1,1'-biphenyl]-3-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-60-1 CAPLUS CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-61-2 CAPLUS CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(3-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-62-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-63-4 CAPLUS

CN 2-Oxazolamine, 5-(3-ethenylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl](9CI) (CA INDEX NAME)

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RN 681003-64-5 CAPLUS

CN 2-Oxazolamine, 5-(3-ethylphenyl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

RN 681003-65-6 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-66-7 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-67-8 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1-methyl-1H-imidazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-68-9 CAPLUS

CN 2-Oxazolamine, 5-[1,1'-biphenyl]-3-yl-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-69-0 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-furanyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-70-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3-pyrazinylphenyl)(9CI) (CA INDEX NAME)

RN 681003-71-4 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(4'-fluoro[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 681003-72-5 CAPLUS

CN 2-Oxazolamine, 5-[3-(2,3-dihydro-5-benzofuranyl)phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681003-73-6 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-thiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-74-7 CAPLUS

CN Benzenesulfonamide, 4-methoxy-3-[[5-[3-(3-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681003-75-8 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-4-methoxy-(9CI) (CA INDEX NAME)

RN 681003-76-9 CAPLUS

CN Benzenesulfonamide, 4-methoxy-3-[[5-[3-(1-methyl-1H-imidazol-5-yl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681003-77-0 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4'-fluoro[1,1'-biphenyl]-3-yl)-2-oxazolyl]amino]-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 681003-78-1 CAPLUS

CN Benzoic acid, 4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 681003-79-2 CAPLUS

CN Benzenesulfonamide, 3-[[5-(4'-fluoro[1,1'-biphenyl]-3-yl)-2-oxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681003-80-5 CAPLUS

CN 2-Oxazolamine, N-[5-[(1-ethylpropyl)sulfonyl]-2-methoxyphenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-81-6 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[(1-methylethyl)sulfonyl]phenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-82-7 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[(tetrahydro-3-furanyl)sulfonyl]phenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-83-8 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[(2-methylpropyl)sulfonyl]phenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681003-84-9 CAPLUS

CN 2-Oxazolamine, 5-[1,1'-biphenyl]-3-yl-N-[2-methoxy-5-[[1-(4-pyridinyl)ethyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-85-0 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[[(tetrahydro-2-furanyl)methyl]sulfonyl]phenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2 - S & NH & N \\ O & OMe & N \end{array}$$

RN 681003-86-1 CAPLUS

CN 2-Oxazolamine, N-[2-methoxy-5-[[2-(4-methyl-5-thiazolyl)ethyl]sulfonyl]phenyl]-5-[3-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & CH_2 - CH_2 - S \\ N & O \end{array}$$
Me

RN 681003-87-2 CAPLUS

CN 2-Oxazolamine, 5-[1,1'-biphenyl]-3-yl-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 681003-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681003-90-7 CAPLUS

CN Benzenesulfonyl fluoride, 4-methoxy-3-[[5-[3-(3-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681003-92-9 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 681003-95-2 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 681003-98-5 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 681004-01-3 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(3'-fluoro[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME)

RN 681004-03-5 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681004-04-6 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(5-methyl-2-thienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681004-05-7 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(1H-indol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 681004-07-9 CAPLUS

CN Benzenesulfonamide, 3-[[5-(3'-fluoro[1,1'-biphenyl]-3-yl)-2-oxazolyl]amino]-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 681004-08-0 CAPLUS

Benzenesulfonyl fluoride, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-4-methoxy- (9CI) (CA INDEX NAME) CN

RN681004-09-1 CAPLUS

Benzenesulfonamide, 3-[[5-(3'-fluoro[1,1'-biphenyl]-3-yl)-2-CNoxazolyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)

RN 681004-10-4 CAPLUS

2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-(2'-fluoro[1,1'-biphenyl]-3-yl)- (9CI) (CA INDEX NAME) CN

RN 681004-11-5 CAPLUS

CN 2-Oxazolamine, 5-(2'-chloro[1,1'-biphenyl]-3-yl)-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681004-12-6 CAPLUS

CN Benzenesulfonamide, 4-methoxy-N-methyl-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681004-13-7 CAPLUS

CN Benzenesulfonamide, N-ethyl-4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681004-14-8 CAPLUS

CN Benzenesulfonamide, 4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2oxazolyl]amino]- (9CI) (CA INDEX NAME)

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$$H_2N-S=0$$

$$0$$

$$0$$

RN 681004-15-9 CAPLUS

CN Benzenesulfonamide, 4-methoxy-N-(1-methylethyl)-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681004-16-0 CAPLUS

CN Benzenesulfonamide, N-(cyclopropylmethyl)-4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681004-17-1 CAPLUS

CN Benzenesulfonamide, N,N-diethyl-4-methoxy-3-[[5-[3-(2-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} OMe \\ \hline NH \\ \hline O \\ \hline \\ Et_2N \\ \hline \\ O \\ \end{array}$$

RN 681004-18-2 CAPLUS

CN Benzenesulfonamide, 4-methoxy-N-(1-methylethyl)-3-[[5-[3-(3-pyridinyl)phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 681004-19-3 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-4-methoxy-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 681004-20-6 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 681004-21-7 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-N-cyclopropyl-4-methoxy- (9CI) (CA INDEX NAME)

RN 681004-22-8 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-N-butyl-4-methoxy- (9CI) (CA INDEX NAME)

RN 681004-23-9 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-N,N-diethyl-4-methoxy- (9CI) (CA INDEX NAME)

RN 681004-24-0 CAPLUS

CN Benzenesulfonamide, 3-[(5-[1,1'-biphenyl]-3-yl-2-oxazolyl)amino]-4-methoxy-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

RN 681004-25-1 CAPLUS

CN 2-Pyrimidinamine, 4-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 681004-26-2 CAPLUS

CN 2-Pyrimidinamine, 4-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 681004-27-3 CAPLUS

CN 1,3-Propanediamine, N'-[4-[3-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]phenyl]-2-pyrimidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 681004-28-4 CAPLUS

CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-(2-phenyl-4-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 681004-29-5 CAPLUS
CN 2-Oxazolamine, N-[5-(ethylsulfonyl)-2-methoxyphenyl]-5-[3-[2-(1-methylethyl)-4-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 681004-30-8 CAPLUS CN 2-Oxazolamine, 5-[3-[2-(1,1-dimethylethyl)-4-pyrimidinyl]phenyl]-N-[5-(ethylsulfonyl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 681004-32-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 681004-33-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 3'-[2-[[5-(ethylsulfonyl)-2-methoxyphenyl]amino]-5-oxazolyl]-N-[3-(4-methyl-1-piperazinyl)propyl](9CI) (CA INDEX NAME)

2-Propen-1-one, 3-(dimethylamino)-1-[3-[2-[[5-(ethylsulfonyl)-2methoxyphenyl]amino]-5-oxazolyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:1082034 CAPLUS

DOCUMENT NUMBER:

142:56293

TITLE: INVENTOR(S): P-38 inhibitors

Dong, Qing; Pierre, Fabrice; Wang, Jianqiang

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATEN'	PATENT NO. US 2004254236 CA 2528438 WO 2005000298 WO 2005000298			KIND DATE				APPLICATION NO.						DATÉ			
					;	2004 2005								•			
WO 20				A2		2005 2005	0106		WO 2004-US17580						7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7 7		
	: AE,		AL, CR,	AM, CU,	AT, CZ,	AU, DE,	AZ, DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	LK, NO,	LR, NZ,	LS, OM,	LT, PG,	LU, PH,	LV, PL,	MA, PT,	MD, RO,	MG, RU,	MK, SC,	MN, SD,	MW, SE,	MX, SG,	MZ, SK,	NA, SL,	NI, SY,	
R	w: BW,	TM, GH, BY,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
	SI,	ES, SK, TD,	TR,			•	•	•		•		•	•				
PRIORITY A	PPLN.	INFO	.:					1	US 2	003-	53154	62P 41P 580	:	P 2	0030 0031 0040	219	

OTHER SOURCE(S): MARPAT 142:56293

GI

$$R^2$$
 R^3
 R^3

5-Membered heterocycle-based p38 kinase inhibitors I (R1 = H, Me, halogen, AΒ OH, lower alkyl, lower cycloalkyl, lower alkynyl, CF3, OMe, OCF3, CN, NH2, alkylamine, alkoxy; R2 = alkyl, substituted alkyl, lower cycloalkyl, halo, CF3, OCF3, alkoxy, alkylamine, sulfoxy, sulfone, amide, and n = 0, 1, or 2; R3 = H, alkyl, alkoxy, substituted alkyl, cycloalkyl, heteroaryl, heterocycle; Y = a single bond, C(0)NH, NHC(0), NHC(0)NH, SO2NH, NHSO2, C(0); B = a 5-membered heterocyclic ring system optionally substituted; Q= a single bond, O, S, alkylamine, SO, SO2, C(0), C(0), C(0) NH, CH2; D = a monocyclic or bicyclic ring system) are prepared for the treatment of inflammatory and autoimmune diseases. Thus, to 3-amino-N-methoxy-4-methylbenzamide in CH2Cl2 was added benzoyl isothiocyanate, and N, N-diisopropylethylamine followed by treatment with hydrazine monohydrate to give II. II had an IC50 of less than 50 nM against p38a.

TΤ 808737-97-5P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); 'THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of p-38 kinase inhibitors for the treatment of inflammatory and autoimmune diseases)

RN 808737-97-5 CAPLUS

Benzamide, N-cyclopropyl-4-methyl-3-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 9 OF 23

ACCESSION NUMBER: 2004:362591 CAPLUS

DOCUMENT NUMBER: 141:106407

TITLE: The discovery of N-(1,3-thiazol-2-yl)pyridin-2-amines

as potent inhibitors of KDR kinase Bilodeau, Mark T.; Rodman, Leonard D.; McGaughey,

> Georgia B.; Coll, Kathleen E.; Koester, Timothy J.; Hoffman, William F.; Hungate, Randall W.; Kendall, Richard L.; McFall, Rosemary C.; Rickert, Keith W.;

Rutledge, Ruth Z.; Thomas, Kenneth A.

Departments of Medicinal Chemistry, Merck Research CORPORATE SOURCE:

Laboratories, West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

10530810.trn

AUTHOR (S):

14(11), 2941-2945

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal English

LANGUAGE: OTHER SOURCE(S):

CASREACT 141:106407

An azo-dye lead was modified to a N-(1,3-thiazol-2-yl)pyridin-2-amine series of KDR kinase inhibitors through the use of rapid analog libraries. The two lead compds. were N-butyl-N, 3-dimethyl-4-[(5-nitro-2thiazolyl)azo]benzenamine and N-(5-phenyl-2-thiazolyl)benzamide. This class has been found to be potent, selective, and of low mol. weight Mol. modeling has postulated an interesting conformational preference and binding mode for these compds. in the active site of the enzyme. A binding mode was proposed for the lead compound N-(5-phenyl-2-thiazolyl)-2pyridinamine (I) in the KDR kinase active site.

IT 135307-33-4P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of N-(thiazolyl)pyridinamines, and analogs, study of their activity as KDR kinase inhibitors, structure-activity relationship, and evaluation of diphenyloxazolamine analog)

RN 135307-33-4 CAPLUS

2-Oxazolamine, N,5-diphenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:2836 CAPLUS

DOCUMENT NUMBER:

140:77135

TITLE:

Preparation of oxazolylureidoanilines as inhibitors of

INVENTOR(S):

serine proteases such as Factor VIIa. Slusasrchyk, William A.; Bolton, Scott A.; Herpin,

Timothy; Bisacchi, Gregory S.; Pi, Zulan; Priestley, E. Scott

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

10530810.trn

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT	PATENT NO. 			KIND DATE						ICAT:		DATE					
WO 200				A1 2003123			1231	,				20030617					
W	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	
	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YŪ,	ZA,	ZM,	ZW					
RV	1: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	ΒĒ,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU 200	AU 2003245614 US 2004019085						0106		AU 2	003-	2456	20030617					
US 200							0129		US 2	003-4	4640	20030617					
US 684	6838			B2		2005	0125										
EP 155	EP 1551794					2005	0713		EP 2	003-	7392	20030617					
R	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR,	BG,	CZ,	EE,	HU,	SK		
PRIORITY A						US 2	002-	3898	32P	P 20020619							
						1	WO 2	003-1	US19	605	W 20030617						
OTHER SOURC	MARPAT 140:77135																

AB Title compds. [I; A = 0-1 5-6 membered (unsatd.) (substituted) carbocyclyl, heterocyclyl, heteroaryl; B = (substituted) oxazolyl, triazolyl, pyrazolyl, imidazolyl; D = (substituted) phenylene, 5-6 membered heteroaryl, heterocyclyl, cycloalkyl; R4, R5 = H, halo, OH, cyano, alkoxy, OCF3, amino, etc.; R6 = H, (substituted) alkyl; R7, R8 = H, halo, OH, cyano, alkoxy, CF3, OCF3, amino, (substituted) alkyl, etc.; R9, R10 = H, (substituted) alkyl; NR9R10 = 3-8 membered (substituted) heterocyclyl; R11 = 0-4 halo, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, etc.; R12 = H, (substituted) alkyl; R13, R14 = H, (substituted) alkyl, cyano, OH, alkoxy, cycloalkyl, heterocyclyl, etc.], were prepared as Factor VIIa inhibitors (no data). Thus, title compound (II) was prepared in 11 steps.

IT 639475-69-7P 639475-73-3P 639475-75-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolylureidoanilines as inhibitors of serine proteases such as Factor VIIa)

RN 639475-69-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-[(aminocarbonyl)amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl)phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & & & \\
N & & NH & & \\
NH & & C-NH_2
\end{array}$$
Me

RN 639475-73-3 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[3-[[(methylamino)carbonyl]amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 639475-72-2 CMF C24 H25 N7 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 639475-75-5 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-[[(ethylamino)carbonyl]amino]-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & & & & & & & \\ & & & & & & & & & & & & & \\ & & & & & & & & & & & \\ & & & & & & & & & & \\ & & & & & & & & & & \\ & & & & & & & & & \\ & & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ &$$

IT 639475-88-0P 639475-91-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolylureidoanilines as inhibitors of serine proteases such as Factor VIIa)

RN 639475-88-0 CAPLUS

CN Benzoic acid, 5-[[5-[2-[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]meth ylamino]methyl]phenyl]-2-oxazolyl]amino]-2-(2-oxazolyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 639475-91-5 CAPLUS

CN Benzoic acid, 5-[[5-[2-[[[[((1,1-dimethylethoxy)carbonyl]amino]acetyl]meth ylamino]methyl]phenyl]-2-oxazolyl]amino]-2-(2-oxazolyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:2622 CAPLUS

DOCUMENT NUMBER:

140:53429

TITLE:

Use of compounds having an amine nucleus in

manufacture of a medicament useful for treating factor

VIIa-associated conditions

INVENTOR(S):

Herpin, Timothy; Bisacchi, Gregory S.; Pi, Zulan;

Priestley, E. Scott; Dhar, T. G. Murali

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	PATENT NO.					KIND DATE					ICAT:		DATE				
			A2 200312 A3 200403								20030617							
			AE,	AG,	AL,	AM,	AT,	AU, DK,	AZ,			-	-	-				
			LS,	LT,	LU,	LV,	MA,	IN, MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			TT,	TZ,	UA,	UG,	US,	RU, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW				
		RW:	KG,	KZ,	MD,	RU,	TJ,	MZ, TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	110	2004	BF,	ВJ,	CF,	CG,	CI,	IE, CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		TG
US 2004029940 EP 1532103									EP 2003-742043									
		R:				-		ES, RO,										PT,
PRIORITY APPLN. INFO.:										1	US 2	002-	3898	33P	P 20020619 W 20030617			
	OTHER CO	אוופרב		MADDAT 140.52429														

OTHER SOURCE(S):

MARPAT 140:53429

AB Use of at least one compound having an amine nucleus, or a pharmaceutically-acceptable salt, hydrate or prodrug thereof, in the manufacture of a medicament useful for treating conditions associated with the activity of Factor VIIa is described.

TT 639458-85-8P 639458-86-9P 639458-87-0P 639458-89-2P 639458-92-7P 639458-94-9P

RN

CN

$$\begin{array}{c|c} & \text{OMe} \\ & \text{O} \\ & \text{N} \\ &$$

RN 639458-86-9 CAPLUS
CN Acetamide, 2-amino-N-methyl-N-[[2-[2-(1-naphthalenylamino)-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 639458-89-2 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[3-(phenylmethoxy)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 639458-92-7 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ & & \text{Me} \end{array}$$

RN 639458-94-9 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(2-methoxy[1,1'-biphenyl]-4-yl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 639458-95-0 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-chloro-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 639458-96-1 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[4-(1,2,4-oxadiazol-3-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\
 & N \\
 & O \\$$

RN 639458-97-2 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(1H-tetrazol-5-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 639458-98-3 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(4-isothiazoly1)phenyl]amino]-5-oxazoly1]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH & NH \\ H_2N-CH_2-C-N-CH_2 & O \\ Me & O \end{array}$$

RN 639458-99-4 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[3-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & \\ H_2N-CH_2-C-N-CH_2 \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 639459-00-0 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-(2-naphthalenylamino)-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 639459-01-1 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[(2-methyl-5-benzothiazolyl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 639459-02-2 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-(1H-benzimidazol-5-ylamino)-5-

10530810.trn

oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-CH_2-C-N-CH_2 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 639459-03-3 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[4-(1H-imidazol-4-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-C-N-CH_2$$
Me

RN 639459-04-4 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[2-(2-furanyl)-5-benzoxazolyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 639459-05-5 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-chloro-4-(2-thiazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 639459-06-6 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-ethyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Et} \\ & & & \\ & & \\ \text{Me} & & \\$$

RN 639459-07-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ \text{Me} & & \\ &$$

RN 639459-08-8 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(4-methyl-2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-CH_2-C-N-CH_2 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 639459-09-9 CAPLUS

Acetamide, 2-amino-N-methyl-N-[[2-[2-[4-(5-methyl-2-CN oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ H_2N-CH_2-C-N-CH_2 \\ & & \\ & & \\ Me \end{array} \qquad \begin{array}{c} N \\ & \\ O \end{array} \qquad \begin{array}{c} N \\ & \\ \\ Me \end{array}$$

639459-10-2 CAPLUS RN

Acetamide, 2-amino-N-[[2-[2-[4-(1H-imidazol-1-yl)phenyl]amino]-5oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN

639459-11-3 CAPLUS
Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(1-methyl-1H-pyrazol-5-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{NH} \\ \\$$

RN 639459-12-4 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 639459-13-5 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(1,2,3-thiadiazol-4-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 639459-14-6 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & NH & NH & Me \\ H_2N-CH_2-C-N-CH_2 & O & Br & Br & \\ Me & & & & & \\ \end{array}$$

RN 639459-15-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-(1H-indazol-5-ylamino)-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & NH \\ H_2N-CH_2-C-N-CH_2 & O & NH \\ \hline Me & NH \\ \end{array}$$

RN 639459-16-8 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-[5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
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RN 639459-17-9 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(2,3-dihydro-2-oxo-1H-benzimidazol-5-yl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-C-N-CH_2$$
Me

IT 639459-18-0 639459-19-1 639459-20-4 639459-21-5 639459-22-6 639459-23-7

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 639459-19-1 CAPLUS
CN Acetamide, 2-amino-N-[1-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5oxazolyl]phenyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & Me \\
H_2N-CH_2-C-N \\
Me-CH
\end{array}$$

RN 639459-20-4 CAPLUS
CN Acetamide, 2-amino-N-[[2-[2-[[4-(4-ethyl-4,5-dihydro-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{NH} & \text{O} \\ \hline \\ \text{N} & \text{O} \\ \hline \\ \text{CH}_2 - \text{N-} \text{C-} \text{CH}_2 - \text{NH}_2 \\ \hline \\ \text{Me} \\ \end{array}$$

RN 639459-21-5 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(4,5-dihydro-4-methyl-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{N} \\ & \text{N} \\ & \text{NH} \end{array}$$

RN 639459-22-6 CAPLUS

CN Acetamide, 2-amino-N-[[5-chloro-2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CAINDEX NAME)

RN 639459-23-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(4-ethyl-2-oxazolyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{NH} & \text{O} \\ \hline \\ \text{NH} & \text{O} & \text{CH}_2 - \text{N-} \text{C-} \text{CH}_2 - \text{NH}_2 \\ \hline \\ \text{Me} & \text{Me} \end{array}$$

RN 639459-24-8 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[3-methoxy-4-(4-methyl-2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{N} \\ \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ & \text{Me} \end{array}$$

RN 639459-25-9 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(3-furanyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 639459-26-0 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-methyl-5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 639459-27-1 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-methyl-2-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 639459-28-2 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-cyano-3-methoxyphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-C-N-CH_2$$
Me

RN 639459-29-3 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-bromo-3-methoxyphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{O} \\ & \text{H}_2\text{N--} \text{CH}_2 - \text{C} - \text{N--} \text{CH}_2 \\ & \text{Me} \end{array}$$

RN 639459-30-6 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(2-methyl-1-propenyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{CH} = \text{CMe}_2 \\ \text{H}_2\text{N} - \text{CH}_2 - \text{C} - \text{N} - \text{CH}_2 \\ \text{Me} \end{array}$$

RN 639459-31-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-[(hydroxyamino)iminomethyl]-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} & \text{NH} \\ & \text{O} \\ & \text{C-NH-OH} \\ \\ & \text{H}_2\text{N-CH}_2 - \text{C-N-CH}_2 \\ & \text{Me} \end{array}$$

RN 639459-32-8 CAPLUS

CN Acetamide, 2-amino-N-ethyl-N-[[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{O} \\ & \text{H}_2\text{N}-\text{CH}_2-\text{C}-\text{N}-\text{CH}_2 \\ & \text{Et} \end{array}$$

RN 639459-33-9 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{O} \\ &$$

RN 639459-34-0 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[4-(2-furanyl)-3-methoxyphenyl]amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ H_2N-CH_2-C-N-CH_2 \\ Me \end{array}$$

RN 639459-35-1 CAPLUS

CN Cyclopentanecarboxamide, 1-amino-N-[[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]methy1]-N-methy1- (9CI) (CA INDEX NAME)

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OMe
       NH2
Me
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ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:746351 CAPLUS

DOCUMENT NUMBER:

139:381329

TITLE:

3-cyanoindole-based inhibitors of inosine

monophosphate dehydrogenase: synthesis and initial

structure-activity relationships

AUTHOR (S):

Dhar, T. G. Murali; Shen, Zhongqi; Gu, Henry H.; Chen, Ping; Norris, Derek; Watterson, Scott H.; Ballentine, Shelley K.; Fleener, Catherine A.; Rouleau, Katherine A.; Barrish, Joel C.; Townsend, Robert; Hollenbaugh,

Diane L.; Iwanowicz, Edwin J.

CORPORATE SOURCE:

Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2003),

13(20), 3557-3560

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

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Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:381329

A series of novel small mol. inhibitors of inosine monophosphate dehydrogenase (IMPDH), based upon a 3-cyanoindole core, were explored. IMPDH catalyzes the rate determining step in guanine nucleotide biosynthesis and

is a target for anticancer, immunosuppressive and antiviral therapy. The synthesis and the structure-activity relationships (SAR), derived from in vitro studies, for this new series of inhibitors is given. Compds. thus prepared and screened included 1-methyl-6-[[(phenylamino)carbonyl]amino]-1Hindole-3-carbonitrile, 1-methyl-6-[[[(2-methylphenyl)amino]carbonyl]amino]-1H-indole-3-carbonitrile, 1-methyl-6-[[[(4-methylphenyl)amino]carbonyl]ami no]-1H-indole-3-carbonitrile, 6-[(5-phenyl-2-oxazolyl)amino]-1H-indole-3carbonitrile.

625116-07-6 625116-08-7 625116-09-8

625116-10-1 625116-11-2 625116-13-4

625116-14-5 625116-15-6 625116-16-7

625116-17-8 625116-18-9 625116-21-4

625116-22-5 625116-24-7 625116-25-8

625116-26-9

RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation and structure-activity relationships of 3-cyanoindole-based inhibitors of inosine monophosphate dehydrogenase)

RN 625116-07-6 CAPLUS

1H-Indole-3-carbonitrile, 1-methyl-6-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 625116-08-7 CAPLUS

CN 1H-Indole-3-carbonitrile, 1-methyl-6-[[5-(2-methylphenyl)-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 625116-09-8 CAPLUS

CN 1H-Indole-3-carbonitrile, 1-methyl-6-[[5-(3-methylphenyl)-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN 625116-10-1 CAPLUS

CN 1H-Indole-3-carbonitrile, 1-methyl-6-[[5-(4-methylphenyl)-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

RN

625116-11-2 CAPLUS Acetamide, 2-(acetyloxy)-N-[2-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-CN oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN625116-13-4 CAPLUS

1H-Indole-3-carbonitrile, 6-[[5-[3-(aminomethyl)phenyl]-2-oxazolyl]amino]-CN1-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ N \\ N \\ \end{array}$$

625116-14-5 CAPLUS RN

1H-Indole-3-carbonitrile, 6-[[5-[4-(aminomethyl)phenyl]-2-oxazolyl]amino]-CN1-methyl- (9CI) (CA INDEX NAME)

RN 625116-15-6 CAPLUS
CN Renzamide N-[3-[2-[(3-cvano-1

CN Benzamide, N-[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 625116-16-7 CAPLUS

CN Benzamide, N-[[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 625116-17-8 CAPLUS

CN Benzamide, N-[[4-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 625116-18-9 CAPLUS CN Acetamide, N-[[4-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 625116-21-4 CAPLUS
CN 1-Naphthalenecarboxamide, N-[[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$O = C - NH - CH_2$$

$$O = C - NH - CH_2$$

$$O = C - NH - CH_2$$

RN 625116-22-5 CAPLUS
CN Carbamic acid, [[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

10530810.trn

RN 625116-24-7 CAPLUS

CN Carbamic acid, [[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{CN} \\ \text{CN} \\ \text{CN} \\ \text{CN} \\ \text{O} \\ \text{O}$$

RN 625116-25-8 CAPLUS

CN Carbamic acid, [[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

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RN 625116-26-9 CAPLUS

CN Carbamic acid, [[2-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

625116-12-3P IT

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationships of 3-cyanoindole-based inhibitors of inosine monophosphate dehydrogenase)

625116-12-3 CAPLUS RN

1H-Indole-3-carbonitrile, 6-[[5-[2-(aminomethyl)phenyl]-2-oxazolyl]amino]-CN 1-methyl- (9CI) (CA INDEX NAME)

625116-19-0P 625116-20-3P 625116-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationships of 3-cyanoindole-based inhibitors of inosine monophosphate dehydrogenase)

RN

625116-19-0 CAPLUS
Propanamide, N-[[4-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-CNoxazolyl]phenyl]methyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{CN} \\ \\$$

RN 625116-20-3 CAPLUS

CN 2-Naphthalenecarboxamide, N-[[3-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 625116-23-6 CAPLUS

CN Carbamic acid, [[2-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-oxazolyl]phenyl]methyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NC-} \text{CH}_2\text{--} \text{CH}_2\text{--} \text{O--} \text{C--} \text{NH--} \text{CH}_2 \\ \text{O} \\ \end{array}$$

IT 625116-30-5P 625116-31-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationships of 3-cyanoindole-based inhibitors of inosine monophosphate dehydrogenase)

RN 625116-30-5 CAPLUS

CN 1H-Indole-3-carbonitrile, 6-[[5-[2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]phenyl]-2-oxazolyl]amino]-1-methyl- (9CI) (CA INDEX NAME)

625116-31-6 CAPLUS RN

Carbamic acid, [[2-[2-[(3-cyano-1-methyl-1H-indol-6-yl)amino]-5-CN oxazolyl]phenyl]methyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 13 OF 23

11

ACCESSION NUMBER:

2003:405949 CAPLUS

DOCUMENT NUMBER:

REFERENCE COUNT:

139:127427

TITLE:

Inhibitors of inosine monophosphate dehydrogenase: SARs about the N-[3-Methoxy-4-(5-oxazolyl)phenyl]

moiety

AUTHOR (S):

Iwanowicz, Edwin J.; Watterson, Scott H.; Guo,

Junqing; Pitts, William J.; Murali Dhar, T. G.; Shen,

Zhongqi; Chen, Ping; Gu, Henry H.; Fleener, Catherine A.; Rouleau, Katherine A.; Cheney, Daniel L.; Townsend, Robert M.; Hollenbaugh, Diane L.

CORPORATE SOURCE:

Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2003),

13(12), 2059-2063

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:127427

The first reported structure-activity relationships (SARs) about the N-[3-methoxy-4-(5-oxazolyl)phenyl] moiety for a series of recently disclosed inosine monophosphate dehydrogenase (IMPDH) inhibitors are described. The syntheses and in vitro inhibitory values for IMPDH II, and T-cell proliferation (for select analogs) are given.

267645-83-0P 267646-00-4P 267646-03-7P 267647-66-5P 267647-67-6P 267647-68-7P 267647-69-8P 267647-71-2P 267647-72-3P 267647-73-4P 267647-74-5P 437655-90-8P 568556-36-5P 568556-37-6P 568556-38-7P 568556-39-8P 568556-40-1P 568556-41-2P 568556-42-3P 568556-48-9P 568556-49-0P 568556-50-3P 568556-51-4P 568556-52-5P

568556-53-6P 568556-54-7P 568556-55-8P

568556-56-9P 568556-59-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitors of inosine monophosphate dehydrogenase and structure-activity relations about the 3-Methoxy(5-oxazolyl)phenyl moiety in relation to inhibition of T-cell proliferation)

RN267645-83-0 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN267646-00-4 CAPLUS

Phenol, 2-(5-oxazolyl)-5-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX CN NAME)

RN267646-03-7 CAPLUS

CN 2-Oxazolamine, N-[3-ethoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 267647-66-5 CAPLUS
CN Acetamide, N-[2-[2-[[3-bromo-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

RN 267647-67-6 CAPLUS
CN 4-Morpholineacetamide, N-[2-[2-[[3-bromo-4-(5-oxazoly1)pheny1]amino]-5-

oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 267647-68-7 CAPLUS
CN Acetamide, N-[2-[2-[[3-chloro-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

RN 267647-69-8 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-chloro-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 \\
N \\
N \\
CH_2 - C \\
N \\
Me
\end{array}$$

RN 267647-71-2 CAPLUS

CN Acetamide, 2-hydroxy-N-methyl-N-[2-[2-[[3-methyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267647-72-3 CAPLUS

CN 4-Morpholineacetamide, N-methyl-N-[2-[2-[[3-methyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 267647-73-4 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(1H-1,2,4-triazol-1-yl)phenyl]-5-phenyl-(9CI) (CA INDEX NAME)

RN 267647-74-5 CAPLUS

CN 2-Oxazolamine, N-[4-(4-methyl-5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 437655-90-8 CAPLUS

CN Acetamide, 2-hydroxy-N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]-N-methy1- (9CI) (CA INDEX NAME)

RN 568556-36-5 CAPLUS

CN 2-Oxazolamine, N-[4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-37-6 CAPLUS

CN 2-Oxazolamine, N-[3-chloro-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-38-7 CAPLUS

CN 2-Oxazolamine, N-[2-fluoro-5-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-39-8 CAPLUS

CN 2-Oxazolamine, N-[2-chloro-3-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-40-1 CAPLUS

CN 2-Oxazolamine, N-[2-chloro-5-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-41-2 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-ethyl-4-(5-oxazolyl)phenyl]amino]-5-

10530810.trn

oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 568556-42-3 CAPLUS

CN Acetamide, N-[2-[2-[[3-ethyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

RN 568556-48-9 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(4-methyl-5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-49-0 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(2-methyl-5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-50-3 CAPLUS

CN 2-Oxazolamine, N-[4-(2,4-dimethyl-5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-51-4 CAPLUS

CN 2-Oxazolamine, N-[4-(4-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-52-5 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(4-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-53-6 CAPLUS

CN 2-Oxazolamine, N-[3-methyl-4-(4-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 568556-54-7 CAPLUS

CN 2-Oxazolamine, N-[3-chloro-4-(4-oxazolyl)phenyl]-5-phenyl- (9CI) (CA

10530810.trn

Page 157

INDEX NAME)

RN 568556-55-8 CAPLUS

CN 4-Morpholineacetamide, N-[3-[2-[[3-methoxy-4-(4-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 568556-56-9 CAPLUS

CN Acetamide, 2-hydroxy-N-[3-[2-[[3-methoxy-4-(4-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 568556-59-2 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(2-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

IT 267645-38-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibitors of inosine monophosphate dehydrogenase and structure-activity relations about the 3-Methoxy(5-oxazoly1)phenyl

10530810.trn

moiety in relation to inhibition of T-cell proliferation)

267645-38-5 CAPLUS RN

2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA CN INDEX NAME)

679001-60-6P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

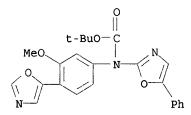
(inhibitors of inosine monophosphate dehydrogenase and

structure-activity relations about the 3-Methoxy(5-oxazolyl)phenyl

moiety in relation to inhibition of T-cell proliferation)

RN 679001-60-6 CAPLUS

> Carbamic acid, [3-methoxy-4-(5-oxazolyl)phenyl](5-phenyl-2-oxazolyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:236040 CAPLUS

DOCUMENT NUMBER:

139:133492

TITLE:

CN

Identification of novel and potent isoquinoline

aminooxazole-based IMPDH inhibitors

AUTHOR(S):

Chen, Ping; Norris, Derek; Haslow, Kristin D.; Murali Dhar, T. G.; Pitts, William J.; Watterson, Scott H.; Cheney, Daniel L.; Bassolino, Donna A.; Fleener, Catherine A.; Rouleau, Katherine A.; Hollenbaugh, Diane L.; Townsend, Robert M.; Barrish, Joel C.;

CORPORATE SOURCE:

Iwanowicz, Edwin J. Discovery Chemistry, Bristol-Myers Squibb

Pharmaceutical Research Institute, Princeton, NJ,

08543, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2003),

13(7), 1345-1348

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science B.V. Journal

DOCUMENT TYPE: LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:133492

AB Screening of our inhouse compound collection led to the discovery of 5-bromo-6-aminoisoquinoline (I) as a weak inhibitor of IMPDH. Subsequent optimization of I afforded a series of novel 2-isoquinolinoaminooxazole-based inhibitors, e.g., II, with single-digit nanomolar potency against the enzyme.

IT 566944-20-5P 566944-22-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, IMPDH inhibition, and structure-activity relationships of isoquinolinyl- and quinazolinylaminooxazoles via heterocyclization of corresponding isothiocyanates with azidoacetophenone)

RN 566944-20-5 CAPLUS

CN 6-Isoquinolinamine, N-(5-phenyl-2-oxazolyl)- (9CI) (CA INDEX NAME)

RN 566944-22-7 CAPLUS

CN 7-Quinazolinamine, N-(5-phenyl-2-oxazolyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:755249 CAPLUS

DOCUMENT NUMBER: 137:263025

TITLE: Preparation of substituted oxazoles as IMPDH

inhibitors

INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.;

Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts,
William J.; Herpin, Timothy F.; Pi, Zulan; Bisacchi,

Gregory S.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 428,432.

CODEN: USXXCO

DOCUMENT TYPE: Patent

10530810.trn

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					DATE							DATE				
US 2002143176					20021003			US 2001-997963				20011129				
US 6596747			B2 20030722													
US 6399773			B1 20020604					US 1999-428432					19991027			
WO 2003047512			A2 20030612					WO 2002-US38038					20021127			
WO 2003047512			A3	A3 20031016												
₩:	AE, AG	, AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
	CO, CR	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM, HR	, HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
	LS, LT	, LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
	PL, PT	, RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
	TZ, UA	, UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw						
RW:	GH, GM	, KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,	
	KG, KZ	, MD,	RU,	TJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
	FI, FR	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
	CG, CI	, CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG				
EP 1448187			A2 20040825				EP 2002-789910					20021127				
R:	AT, BE	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
	IE, SI	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
PRIORITY APPLN. INFO.:			US 1998-106186P							86P	P 19981029					
								US 1	999-	4284	32		A2 1	9991	027	
								US 2						0011	129	
								WO 2	002-	US38	038	,	W 2	0021	127	
OTHER SOURCE(S):			MARPAT 137:263025													

$$\begin{array}{c|c}
N & N & H \\
N & N & N \\
H_2N & N & N \\
\end{array}$$
OMe

AB Title compds. I [D = mono/bicyclic (hetero)cyclic ring; A = R3, R4; R3 = 5-6-membered (un)saturated heterocyclic ring; R4 = H, halo, NO, CF3, alkyl, alkoxy, etc.; R = H, alkyl; R1-2 = H, halo, NO2, alkyl, etc.; B = mono/bicyclic (hetero)cyclic ring system] were prepared

```
5-(4-Amino-2-methoxyphenyl)oxazole was reacted with di-Ph
     cyanocarbonimidate (CH3CN, reflux, 40 h) to give an intermediate which was
     reacted with 2-hydrazinopyridine to afford II. I are effective inhibitors
     of IMPDH enzyme and/or serine protease factor VIIa.
     267645-48-7P, 5-(2,3-Dihydro-1,4-benzodioxin-6-yl)-2-[[3-methoxy-4-
IT
     (5-oxazolyl)phenyl]amino]oxazole 267647-75-6P,
     2-[(3-Methoxy-4-cyanophenyl)amino]-5-phenyloxazole 463941-31-3P,
     2-Amino-N-[2-[2-(3-methoxy-4-methylphenylamino)oxazol-5-yl]benzyl]-N-
     methylacetamide 463941-36-8P, 2-Amino-N-[2-[2-(3-
     methoxyphenylamino) oxazol-5-yl]benzyl]-N-methylacetamide
     463941-37-9P, 2-Amino-N-methyl-N-[2-(2-phenylaminooxazol-5-
     yl)benzyl]acetamide 463941-38-0P, 2-Amino-N-[2-[2-(3-
     chlorophenylamino) oxazol-5-yl]benzyl]-N-methylacetamide
     463941-39-1P, 2-Amino-N-[2-[2-(4-chlorophenylamino)oxazol-5-
     yl]benzyl]-N-methylacetamide 463941-40-4P, 2-Amino-N-[2-[2-(4-
     methoxyphenylamino)oxazol-5-yl]benzyl]-N-methylacetamide
     463941-41-5P, 2-Amino-N-[2-[2-(2,4-dichlorophenylamino)oxazol-5-
     yl]benzyl]-N-methylacetamide 463941-42-6P, 2-Amino-N-[2-[2-(3,4-
     dichlorophenylamino)oxazol-5-yl]benzyl]-N-methylacetamide
     463941-43-7P, 2-Amino-N-[2-[2-(3-cyanophenylamino)oxazol-5-
     yl]benzyl]-N-methylacetamide 463941-44-8P, 2-Amino-N-[2-[2-(4-
     cyanophenylamino)oxazol-5-yl]benzyl]-N-methylacetamide
     463941-45-9P, 2-Amino-N-[2-[2-(4-tert-butylphenylamino)oxazol-5-
     yl]benzyl]-N-methylacetamide 463941-46-0P, 4-[[5-[2-[[(2-
     Aminoacetyl) methylamino] methyl] phenyl] oxazol-2-yl] amino] benzoic acid
     methyl ester 463941-47-1P, 2-Amino-N-methyl-N-[2-[2-(4-
     nitrophenylamino)oxazol-5-yl]benzyl]acetamide 463941-48-2P,
     N-[2-[2-(4-Acetylphenylamino)oxazol-5-yl]benzyl]-2-amino-N-methylacetamide
     463941-49-3P, 2-Amino-N-methyl-N-[2-[2-(3-nitrophenylamino)oxazol-
     5-yl]benzyl]acetamide 463941-50-6P, 4-[[5-[2-[[((2-
     Aminoacetyl) methyl) amino] methyl] phenyl] oxazol-2-yl] amino] benzamide
     463941-51-7P, 2-Amino-N-[2-[2-(4-butyrylphenylamino)oxazol-5-
     yl]benzyl]-N-methylacetamide 463941-52-8P, N-[2-[2-((3-
     Acetylaminophenyl)amino)oxazol-5-yl]benzyl]-2-amino-N-methylacetamide
     463941-53-9P, 3-[[5-[2-[[(2-Aminoacetyl)methylamino]methyl]phenyl]
     oxazol-2-yl]amino]-N-methylbenzamide 463941-54-0P,
     N-[2-[2-((4-Acetylaminophenyl)amino)oxazol-5-yl]benzyl]-2-amino-N-
     methylacetamide 463941-55-1P, 4-[[5-[2-[[(2-
     Aminoacetyl) methylamino] methyl] phenyl] oxazol-2-yl] amino] -2-methoxybenzoic
     acid methyl ester
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (IMPDH inhibitor; preparation of substituted oxazoles as IMPDH inhibitors)
     267645-48-7 CAPLUS
     2-Oxazolamine, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-N-[3-methoxy-4-(5-
     oxazolyl)phenyl] - (9CI) (CA INDEX NAME)
```

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RN 267647-75-6 CAPLUS
CN Benzonitrile, 2-methoxy-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)
```

RN 463941-31-3 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-methoxy-4-methylphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-36-8 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-methoxyphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-37-9 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-(phenylamino)-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

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RN 463941-38-0 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-chlorophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-39-1 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-chlorophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{NH} & \text{O} & \text{O} \\ \text{CH}_2 - \text{N-} \text{C-} \text{CH}_2 - \text{NH}_2 \\ \text{Me} \end{array}$$

RN 463941-40-4 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-methoxyphenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-41-5 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(2,4-dichlorophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-42-6 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3,4-dichlorophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ H_2N-CH_2-C-N-CH_2 \\ \hline \\ Me \end{array}$$

RN 463941-43-7 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(3-cyanophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{NC} & \text{NH} & \text{O} \\ \text{O} & \text{O} \\ \text{CH}_2 - \text{N-} & \text{C-} & \text{CH}_2 - \text{NH}_2 \\ \text{Me} \end{array}$$

RN 463941-44-8 CAPLUS

CN Acetamide, 2-amino-N-[[2-[2-[(4-cyanophenyl)amino]-5-oxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

NC NH O
$$CH_2 - N - C - CH_2 - NH_2$$
Me

RN 463941-45-9 CAPLUS

Acetamide, 2-amino-N-[[2-[2-[[4-(1,1-dimethylethyl)phenyl]amino]-5-CNoxazolyl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

463941-46-0 CAPLUS

CNBenzoic acid, 4-[[5-[2-[[(3-amino-2-oxopropyl)amino]methyl]phenyl]-2oxazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

MeO-C
$$\begin{array}{c} O \\ \parallel \\ NH \\ O \\ \end{array}$$

$$\begin{array}{c} CH_2-NH-CH_2-C-CH_2-NH_2 \\ \parallel \\ O \\ \end{array}$$

463941-47-1 CAPLUS RN

Acetamide, 2-amino-N-methyl-N-[[2-[2-[(4-nitrophenyl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$O_2N$$
 NH
 O
 $CH_2-N-C-CH_2-NH_2$
 Me

RN

463941-48-2 CAPLUS Acetamide, N-[[2-[2-[(4-acetylphenyl)amino]-5-oxazolyl]phenyl]methyl]-2-CN amino-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-49-3 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[(3-nitrophenyl)amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & & & O \\ & & & O \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 463941-50-6 CAPLUS

CN Benzamide, 4-[[5-[2-[[(3-amino-2-oxopropyl)amino]methyl]phenyl]-2-oxazolyl]amino]- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 NH
 O
 $CH_2-NH-CH_2-C-CH_2-NH_2$
 O

RN 463941-51-7 CAPLUS

CN Acetamide, 2-amino-N-methyl-N-[[2-[2-[[4-(1-oxobutyl)phenyl]amino]-5-oxazolyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ NH \\ \hline \\ O \\ \hline \\ CH_2 - N - C - CH_2 - NH_2 \\ \hline \\ Me \\ \end{array}$$

RN 463941-52-8 CAPLUS

CN Acetamide, N-[[2-[3-(acetylamino)phenyl]amino]-5-oxazolyl]phenyl]methyl]-2-amino-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-53-9 CAPLUS

CN Benzamide, 3-[[5-[2-[[(3-amino-2-oxopropyl)amino]methyl]phenyl]-2-oxazolyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-54-0 CAPLUS

CN Acetamide, N-[[2-[2-[[4-(acetylamino)phenyl]amino]-5-oxazolyl]phenyl]methyl]-2-amino-N-methyl- (9CI) (CA INDEX NAME)

RN 463941-55-1 CAPLUS

CN Benzoic acid, 4-[[5-[2-[[(3-amino-2-oxopropyl)amino]methyl]phenyl]-2-oxazolyl]amino]-2-methoxy-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:808839 CAPLUS

DOCUMENT NUMBER:

138:255135

TITLE:

The TosMIC approach to 3-(Oxazol-5-yl) indoles:

application to the synthesis of indole-based IMPDH

inhibitors

AUTHOR(S):

Dhar, T. G. Murali; Shen, Zhongqi; Fleener, Catherine

A.; Rouleau, Katherine A.; Barrish, Joel C.; Hollenbaugh, Diane L.; Iwanowicz, Edwin J.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research

Institute, Princeton, NJ, 08543-4000, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),

12(22), 3305-3308

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:255135

AB A modified approach to the synthesis of 3-(oxazolyl-5-yl) indoles is reported. This method was applied to the synthesis of series of novel indole based inhibitors of inosine monophosphate dehydrogenase (IMPDH). The synthesis and the structure-activity relationships (SARs), derived from in vitro studies, for this new series of inhibitors is given.

IT 502622-79-9P 502622-80-2P 502622-81-3P

502622-82-4P 502622-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (oxazolyl)indole derivs. via tosylmethyl isocyanide reagent method and their structure-activity relationships as inosine

Page 169

monophosphate dehydrogenase inhibitors)

RN 502622-79-9 CAPLUS

CN 1H-Indol-6-amine, 3-(5-oxazolyl)-N-(5-phenyl-2-oxazolyl)- (9CI) (CA INDEX NAME)

RN 502622-80-2 CAPLUS

CN 1H-Indol-6-amine, N-[5-(2-methylphenyl)-2-oxazolyl]-3-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH & H \\ N & NH & N \\ \end{array}$$

RN 502622-81-3 CAPLUS

CN 1H-Indol-6-amine, N-[5-(4-methylphenyl)-2-oxazolyl]-3-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 502622-82-4 CAPLUS

CN 1H-Indol-6-amine, N-[5-(3-methylphenyl)-2-oxazolyl]-3-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 502622-84-6 CAPLUS

CN 4-Morpholineacetamide, N-methyl-N-[2-[2-[[3-(5-oxazolyl)-1H-indol-6-yl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

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IT 593254-70-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (oxazolyl) indole derivs. via tosylmethyl isocyanide reagent method and their structure-activity relationships as inosine monophosphate dehydrogenase inhibitors)

RN 593254-70-7 CAPLUS

CN Acetamide, 2-hydroxy-N-methyl-N-[2-[2-[[3-(5-oxazolyl)-1H-indol-6-yl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

IT 502622-83-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(substitution of; preparation of (oxazolyl)indole derivs. via tosylmethyl isocyanide reagent method and their structure-activity relationships as inosine monophosphate dehydrogenase inhibitors)

RN 502622-83-5 CAPLUS

CN Acetamide, 2-(acetyloxy)-N-methyl-N-[2-[2-[[3-(5-oxazolyl)-1H-indol-6-yl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

19

ACCESSION NUMBER: 2002:767300 CAPLUS

DOCUMENT NUMBER: 138:378530

A survey of cyclic replacements for the central TITLE:

diamide moiety of inhibitors of inosine monophosphate

dehydrogenase

Dhar, T. G. Murali; Liu, Chunjian; Pitts, William J.; AUTHOR(S):

Guo, Junquing; Watterson, Scott H.; Gu, Henry; Fleener, Catherine A.; Rouleau, Katherine; Sherbina,

N. Z.; Barrish, Joel C.; Hollenbaugh, Diane;

Iwanowicz, Edwin J.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research

Institute, Princeton, NJ, 08543-4000, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),

12(21), 3125-3128

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier Science Ltd. PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

CASREACT 138:378530 OTHER SOURCE(S):

A series of heterocyclic replacements for the central diamide moiety of one diamide compound, a potent small mol. inhibitor of inosine monophosphate dehydrogenase (IMPDH) were explored. The synthesis and the

structure-activity relationships (SARs), derived from in vitro studies,

for these new series of inhibitors is given.

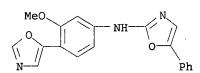
IT 267645-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationship of cyclic diamide derivs. as inhibitors of inosine monophosphate dehydrogenase)

267645-38-5 CAPLUS RN

2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) INDEX NAME)



THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

10530810.trn

Page 172

ACCESSION NUMBER: 2002:294377 CAPLUS

DOCUMENT NUMBER: 137:41266

TITLE: Discovery of N-[2-[2-[[3-Methoxy-4-(5-

oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl-4-morpholineacetamide as a Novel and Potent Inhibitor of Inosine Monophosphate Dehydrogenase with Excellent in

Vivo Activity

AUTHOR(S): Dhar, T. G. Murali; Shen, Zhongqi; Guo, Junqing; Liu, Chunjian; Watterson, Scott H.; Gu, Henry H.; Pitts,

William J.; Fleener, Catherine A.; Rouleau, Katherine A.; Sherbina, N. Z.; McIntyre, Kim W.; Witmer, Mark R.; Tredup, Jeffrey A.; Chen, Bang-Chi; Zhao, Rulin; Bednarz, Mark S.; Cheney, Daniel L.; MacMaster, John F.; Miller, Laura M.; Berry, Karen K.; Harper, Timothy

W.; Barrish, Joel C.; Hollenbaugh, Diane L.;

Iwanowicz, Edwin J.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Inst.,

Princeton, NJ, 08543-4000, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(11),

2127-2130

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:41266

GΙ

Ι

AB Inosine monophosphate dehydrogenase (IMPDH) is a key enzyme that is involved in the de novo synthesis of purine nucleotides. Novel 2-aminooxazoles were synthesized and tested for inhibition of IMPDH catalytic activity. Multiple analogs based on this chemotype were found to inhibit IMPDH with low nanomolar potency. One of the analogs (I) showed excellent in vivo activity in the inhibition of antibody production in mice and in the adjuvant induced arthritis model in rats.

IT 267645-83-0P, BMS 337197

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-aminooxazoles as inhibitors of inosine monophosphate dehydrogenase)

RN 267645-83-0 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

IT 437655-91-9P

RN

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-aminooxazoles as inhibitors of inosine monophosphate dehydrogenase) 437655-91-9 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{N} \\ &$$

● HCl

267645-38-5 267645-40-9 267645-43-2 ΙT 267645-44-3 267645-45-4 267645-46-5 267645-47-6 267645-53-4 267645-54-5 267645-61-4 267645-66-9 267645-70-5 267645-71-6 267645-72-7 267645-73-8 267645-75-0 267645-78-3 267645-79-4 267645-84-1 267645-86-3 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (2-aminooxazoles as inhibitors of inosine monophosphate dehydrogenase) RN267645-38-5 CAPLUS 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) CN INDEX NAME)

RN 267645-40-9 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazoly1)pheny1]-5-(3-methoxypheny1)-(9CI) (CA INDEX NAME)

RN 267645-43-2 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(2-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 267645-44-3 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(4-methoxyphenyl)(9CI) (CA INDEX NAME)

RN 267645-45-4 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

RN 267645-46-5 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(3-methylphenyl)(9CI) (CA INDEX NAME)

RN 267645-47-6 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(2-methylphenyl)(9CI) (CA INDEX NAME)

RN 267645-53-4 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267645-54-5 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-61-4 CAPLUS

CN Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 267645-66-9 CAPLUS

CN Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 267645-70-5 CAPLUS

CN 4-Morpholinepropanamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ N \end{array}$$

RN 267645-71-6 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & Me \\
 & N \\
Me_2N-CH_2-C-N
\end{array}$$

RN 267645-72-7 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl-2-(methylamino)- (9CI) (CA INDEX NAME)

RN 267645-73-8 CAPLUS

CN 1-Piperazineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{N} \\ \text{Me} \end{array}$$

RN 267645-75-0 CAPLUS

CN Acetamide, 2-[(1,1-dimethylethyl)amino]-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-78-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-79-4 CAPLUS

CN 2H-1,2,3-Triazole-2-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN

267645-84-1 CAPLUS
Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-(9CI) (CA INDEX NAME)

267645-86-3 CAPLUS RN

Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-CN methyl- (9CI) (CA INDEX NAME)

IT 437655-88-4P 437655-90-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(2-aminooxazoles as inhibitors of inosine monophosphate dehydrogenase)

RN 437655-88-4 CAPLUS

Acetamide, 2-(acetyloxy)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

437655-90-8 CAPLUS RN

Acetamide, 2-hydroxy-N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-CN oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:378144 CAPLUS

DOCUMENT NUMBER:

137:109226

TITLE:

A Modified Approach to 2-(N-Aryl)-1,3-oxazoles: Application to the Synthesis of the IMPDH Inhibitor

BMS-337197 and Analogs

AUTHOR (S):

Dhar, T. G. Murali; Guo, Junqing; Shen, Zhongqi; Pitts, William J.; Gu, Henry H.; Chen, Bang-Chi; Zhao,

Rulin; Bednarz, Mark S.; Iwanowicz, Edwin J.

CORPORATE SOURCE:

Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SOURCE:

Organic Letters (2002), 4(12), 2091-2093

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER:

American Chemical Society

DOCUMENT TYPE: LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 137:109226

GI

AB A modified approach to the synthesis of 2-(N-aryl)-1,3-oxazoles, employing an optimized iminophosphorane/heterocumulene-mediated methodol., and its application to the synthesis of BMS-337197 (I), a potent inhibitor of IMPDH, are described.

I

IT 437655-88-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(modified approach to 2-(arylamino)-1,3-oxazoles and its application to preparation of the IMPDH inhibitor BMS-337197 and analogs)

RN 437655-88-4 CAPLUS

CN Acetamide, 2-(acetyloxy)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

IT 267645-61-4P 267645-83-0P 267647-75-6P 442849-40-3P 442849-41-4P 442849-42-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(modified approach to 2-(arylamino)-1,3-oxazoles and its application to preparation of the IMPDH inhibitor BMS-337197 and analogs)

RN 267645-61-4 CAPLUS

CN Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]-,
 ethyl ester (9CI) (CA INDEX NAME)

RN 267645-83-0 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{N} \\ &$$

RN 267647-75-6 CAPLUS

CN Benzonitrile, 2-methoxy-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

RN 442849-40-3 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

442849-41-4 CAPLUS RN

Benzonitrile, 4-[(5-phenyl-2-oxazolyl)amino]-2-(trifluoromethyl)- (9CI) CN (CA INDEX NAME)

RN442849-42-5 CAPLUS

Benzoic acid, 2-methoxy-4-[(5-phenyl-2-oxazolyl)amino]-, methyl ester CN(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

7

ACCESSION NUMBER:

2000:314540 CAPLUS

DOCUMENT NUMBER:

132:334477

TITLE:

Preparation of compounds derived from an amine nucleus

as inhibitors of IMPDH enzyme

INVENTOR(S):

Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts,

William John

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

PCT Int. Appl., 191 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

10530810.trn

GI

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PATENT NO.
                            KIND
                                     DATE
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     WO 2000025780
                             A1
                                     20000511
                                                  WO 1999-US24825
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              DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
               CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                     20000511
                                                                              19991022
     CA 2348234
                              AA
                                                   CA 1999-2348234
                                                   EP 1999-955142
     EP 1126843
                             A1
                                     20010829
                                                                              19991022
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
                                                   AU 2000-11315
                                                                              19991022
     AU 764479
                              B2
                                     20030821
                                                   US 1998-106186P
                                                                          P 19981029
PRIORITY APPLN. INFO.:
                                                   WO 1999-US24825
                                                                          W 19991022
OTHER SOURCE(S):
                            MARPAT 132:334477
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MeO
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 $N - Ph$
 $N - Ph$

AB The title compds. XN(R)BD [I; X = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; R = H, alkyl; B = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; D = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S], useful in treating or preventing IMPDH (inosine-5'-monophosphate dehydrogenase) mediated diseases, such as transplant rejection and autoimmune diseases, were prepared E.g., a multi-step synthesis of triazole II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT 267645-38-5P 267645-55-6P 267645-61-4P 267646-00-4P 267647-65-4P 267647-70-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of compds. derived from an amine nucleus as inhibitors of IMPDH enzyme)

RN 267645-38-5 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CFINDEX NAME)

267645-55-6 CAPLUS RN

2-Oxazolamine, 5-(2-bromophenyl)-N-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) CN(CA INDEX NAME)

267645-61-4 CAPLUS RN

Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-, CN ethyl ester (9CI) (CA INDEX NAME)

RN 267646-00-4 CAPLUS

Phenol, 2-(5-oxazolyl)-5-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX CNNAME)

267647-65-4 CAPLUS Acetamide, 2-(acetyloxy)-N-[2-[2-[[3-bromo-4-(5-oxazolyl)phenyl]amino]-5-CNoxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267647-70-1 CAPLUS

CN Acetamide, 2-(acetyloxy)-N-methyl-N-[2-[2-[[3-methyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

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267645-40-9P 267645-43-2P 267645-44-3P
267645-45-4P 267645-46-5P 267645-47-6P
267645-48-7P 267645-49-8P 267645-51-2P
267645-53-4P 267645-54-5P 267645-57-8P
267645-58-9P 267645-59-0P 267645-60-3P
267645-62-5P 267645-63-6P 267645-64-7P
267645-65-8P 267645-66-9P 267645-69-2P
267645-70-5P 267645-71-6P 267645-72-7P
267645-73-8P 267645-74-9P 267645-75-0P
267645-76-1P 267645-77-2P 267645-78-3P
267645-79-4P 267645-80-7P 267645-81-8P
267645-82-9P 267645-83-0P 267645-84-1P
267645-85-2P 267645-86-3P 267645-87-4P
267645-88-5P 267645-89-6P 267645-90-9P
267645-91-0P 267646-01-5P 267646-02-6P
267646-03-7P 267646-04-8P 267646-05-9P
267647-53-0P 267647-54-1P 267647-55-2P
267647-56-3P 267647-57-4P 267647-58-5P
267647-59-6P 267647-60-9P 267647-61-0P
267647-62-1P 267647-63-2P 267647-64-3P
267647-66-5P 267647-67-6P 267647-68-7P
267647-69-8P 267647-71-2P 267647-72-3P
267647-73-4P 267647-74-5P 267647-75-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of compds. derived from an amine nucleus as inhibitors of IMPDH
   enzyme)
```

RN 267645-40-9 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazoly1)pheny1]-5-(3-methoxypheny1)-(9CI) (CA INDEX NAME)

RN 267645-43-2 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazoly1)pheny1]-5-(2-methoxypheny1)-(9CI) (CA INDEX NAME)

RN 267645-44-3 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 267645-45-4 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(4-methylphenyl)-(9CI) (CA INDEX NAME)

RN 267645-46-5 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(3-methylphenyl)-(9CI) (CA INDEX NAME)

RN 267645-47-6 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 267645-48-7 CAPLUS

CN 2-Oxazolamine, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-N-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 267645-49-8 CAPLUS

CN 2-Oxazolamine, 5-[4-(diethylamino)phenyl]-N-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 267645-51-2 CAPLUS

CN 2-Oxazolamine, 5-(2,6-dimethoxyphenyl)-N-[3-methoxy-4-(5-oxazolyl)phenyl]-(9CI) (CA INDEX NAME)

RN 267645-53-4 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267645-54-5 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-57-8 CAPLUS

CN Acetamide, 2-methoxy-N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{OMe} \\ \hline \\ \text{N} & \text{NH} \\ \hline \\ \text{O} & \text{NH} \\ \hline \\ \text$$

RN 267645-58-9 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267645-59-0 CAPLUS

CN Acetamide, 2-methoxy-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-60-3 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-[2-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 267645-62-5 CAPLUS

CN Carbamic acid, [2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

RN 267645-63-6 CAPLUS

CN Carbamic acid, [2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

RN 267645-64-7 CAPLUS

CN 2-Oxazolidinone, 3-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267645-65-8 CAPLUS

CN Carbamic acid, [2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 267645-66-9 CAPLUS

CN Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 267645-69-2 CAPLUS

CN 4-Morpholineacetamide, N-ethyl-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267645-70-5 CAPLUS

CN 4-Morpholinepropanamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ &$$

RN 267645-71-6 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
\text{O Me} \\
\text{O Me} \\
\text{Me}_2\text{N}-\text{CH}_2-\text{C}-\text{N}
\end{array}$$

RN

267645-72-7 CAPLUS Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-CNoxazolyl]phenyl]-N-methyl-2-(methylamino)- (9CI) (CA INDEX NAME)

267645-73-8 CAPLUS RN

1-Piperazineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-CN oxazolyl]phenyl]-N,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{N} \\ \text{N} \\ \text{N} \end{array}$$

267645-74-9 CAPLUS RN

1H-1,2,4-Triazole-1-acetamide, N-[2-[2-[[3-methoxy-4-(5-CNoxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-75-0 CAPLUS

CN Acetamide, 2-[(1,1-dimethylethyl)amino]-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-76-1 CAPLUS

CN Acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl-2-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

RN 267645-77-2 CAPLUS

CN 1H-Imidazole-1-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-78-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-79-4 CAPLUS

CN 2H-1,2,3-Triazole-2-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-80-7 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-81-8 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 267645-82-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-83-0 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 267645-84-1 CAPLUS CN Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazoly1)phenyl]amino]-5-oxazoly1]-(9CI) (CA INDEX NAME)

RN 267645-85-2 CAPLUS CN 2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 267645-86-3 CAPLUS
CN Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267645-87-4 CAPLUS

Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-[(3S)-tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN · 267645-88-5 CAPLUS

Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-[(tetrahydro-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

RN

267645-89-6 CAPLUS
Piperazine, 1-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME) CN

RN267645-90-9 CAPLUS

Benzamide, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME) CN

N
$$- CH_2 - CH_2 - NH - C$$

N $- CH_2 - CH_2 - NH - C$

N $- CH_2 - CH_2 - NH - C$

O $- NH$

O $- NH$

O $- NH$

O $- NH$

267645-91-0 CAPLUS RN

2-Oxazolamine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-N-methyl-5-phenyl- (9CI) CN(CA INDEX NAME)

RN

267646-01-5 CAPLUS Acetic acid, [2-(5-oxazolyl)-5-[(5-phenyl-2-oxazolyl)amino]phenoxy]-, CN1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 267646-02-6 CAPLUS

CN Acetic acid, [2-(5-oxazolyl)-5-[(5-phenyl-2-oxazolyl)amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \end{array} \begin{array}{c} N \\ N \end{array} \begin{array}{c} N \end{array} \begin{array}{$$

RN 267646-03-7 CAPLUS

CN 2-Oxazolamine, N-[3-ethoxy-4-(5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 267646-04-8 CAPLUS

CN Acetonitrile, [2-(5-oxazoly1)-5-[(5-phenyl-2-oxazoly1)amino]phenoxy]-(9CI) (CA INDEX NAME)

RN 267646-05-9 CAPLUS

CN Acetic acid, [5-[[5-(2-bromophenyl)-2-oxazolyl]amino]-2-(5-oxazolyl)phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N \end{array}$$

RN 267647-53-0 CAPLUS

CN 1-Piperidineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 267647-54-1 CAPLUS

CN 1-Piperidineacetamide, 4-hydroxy-N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-oxazoly1]pheny1]-N-methy1- (9CI) (CA INDEX NAME)

RN 267647-55-2 CAPLUS

CN Acetamide, 2-(cyclohexylmethylamino)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 267647-56-3 CAPLUS

CN 1-Piperidineacetamide, N-[2-[2-[[3-methoxy-4-(5-oxazoly1)phenyl]amino]-5-oxazoly1]phenyl]-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 267647-57-4 CAPLUS

CN 1-Pyrrolidineacetamide, 2-(methoxymethyl)-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267647-58-5 CAPLUS

CN Acetamide, 2-amino-N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

OMe
$$0 \text{ Me}$$

$$1 \text{ NH}$$

$$1 \text{$$

RN

267647-59-6 CAPLUS
Propanamide, N-[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N,2-dimethyl- (9CI) (CA INDEX NAME) CN

RN

267647-60-9 CAPLUS Propanamide, N-[2-[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-5-CNoxazolyl]phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN

267647-61-0 CAPLUS Acetic acid, [[2-[3-methoxy-4-(5-oxazoly1)phenyl]amino]-5-CNoxazolyl]phenyl]methylamino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN

267647-62-1 CAPLUS Acetic acid, [[2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-CNoxazolyl]phenyl]methylamino]oxo- (9CI) (CA INDEX NAME)

RN 267647-63-2 CAPLUS

Benzeneacetic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME) CN

RN267647-64-3 CAPLUS

Benzeneacetamide, N-[(1-ethyl-3-pyrrolidinyl)methyl]-2-[2-[[3-methoxy-4-(5-CNoxazolyl)phenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

267647-66-5 CAPLUS RNCN

Acetamide, N-[2-[2-[[3-bromo-4-(5-oxazolyl)phenyl]amino]-5oxazolyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

267647-67-6 CAPLUS RN

 $\hbox{$4$-Morpholineacetamide, N-[2-[2-[[3-bromo-4-(5-oxazolyl)phenyl]amino]-5-constant} $$ -4-morpholineacetamide, N-[2-[2-[[3-bromo-4-(5-oxazolyl)phenyl]amino]-5-constant} $$ -5-constant $$ -5-constan$ oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

267647-68-7 CAPLUS RN

Acetamide, N-[2-[2-[[3-chloro-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 267647-69-8 CAPLUS

CN 4-Morpholineacetamide, N-[2-[2-[[3-chloro-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 267647-71-2 CAPLUS

CN Acetamide, 2-hydroxy-N-methyl-N-[2-[2-[[3-methyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 267647-72-3 CAPLUS

CN 4-Morpholineacetamide, N-methyl-N-[2-[2-[[3-methyl-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 267647-73-4 CAPLUS

CN 2-Oxazolamine, N-[3-methoxy-4-(1H-1,2,4-triazol-1-yl)phenyl]-5-phenyl-(9CI) (CA INDEX NAME)

RN 267647-74-5 CAPLUS

CN 2-Oxazolamine, N-[4-(4-methyl-5-oxazolyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 267647-75-6 CAPLUS

CN Benzonitrile, 2-methoxy-4-[(5-phenyl-2-oxazolyl)amino]- (9CI) (CA INDEX NAME)

IT 267648-00-0P 267648-01-1P 267648-02-2P 267648-03-3P 267648-05-5P 267648-06-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of compds. derived from an amine nucleus as inhibitors of IMPDH enzyme)

267648-00-0 CAPLUS RN

CN Benzoic acid, 2-[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

267648-01-1 CAPLUS RN

Benzoic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl][3-methoxy-4-(5-CNoxazolyl)phenyl]amino]-5-oxazolyl]-, phenylmethyl ester (9CI) (CA INDEX

RN

267648-02-2 CAPLUS
Benzoic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl][3-methoxy-4-(5-CNoxazolyl)phenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 267648-03-3 CAPLUS

CN Carbamic acid, [3-methoxy-4-(5-oxazolyl)phenyl] [5-[2-[(methylamino)carbonyl]phenyl]-2-oxazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 267648-05-5 CAPLUS

CN Carbamic acid, [3-hydroxy-4-(5-oxazolyl)phenyl](5-phenyl-2-oxazolyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 267648-06-6 CAPLUS

Phenol, 5-[[5-(2-bromophenyl)-2-oxazolyl]amino]-2-(5-oxazolyl)- (9CI) (CA CN INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN L5

ACCESSION NUMBER:

1997:511641 CAPLUS

DOCUMENT NUMBER:

127:135812

TITLE:

Preparation of 2-phenyl-3-(aminoalkyl)indole

derivatives as antagonists of gonadotropin releasing

hormone (GnRH)

INVENTOR(S):

Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher,

Michael H.; Wyvratt, Matthew J.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace

T.; Chu, Lin; Fisher, Michael H.; Wyvratt, Matthew J. PCT Int. Appl., 122 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. K					KIND DAT			TE APPLICATION NO.				DATE					
WO	WO 9721707				A1	A1 19970619			WO 1996-US19767				19961210				
	W:	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	ЕĖ,	GE,	ΗU,
		ΙL,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,
		NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	UΖ,	VN,
		AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM							
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		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,
		MR,	ΝE,	SN,	TD,	TG											
CA	CA 2240111			AA		19970619 CA 1996-2240111							19961210				
ΑU	AU 9712876			A1		19970703			AU 1997-12876				19961210				
AU 707277			B2	19990708													
EP 882040			A1		1998	1209		EP 1	996-	9437	11		1:	9961	210		

R: AT, BE, CH,	DE,	DK, ES, FR, G	GB, GR, IT, LI, LU,	NL, SE	, PT, IE, FI
CN 1209129	Α	19990224	CN 1996-199923		19961210
JP 11502538	T2	19990302	JP 1996-522193		19961210
NZ 325060	Α	20000228	NZ 1996-325060		19961210
JP 2000212161	A2	20000802	JP 2000-36746		19961210
JP 3092946	B2	20000925	JP 1997-522193		19961210
US 6197975	B1	20010306	US 1998-77565		19980601
NO 9802730	Α	19980813	NO 1998-2730		19980612
PRIORITY APPLN. INFO.:			US 1995-8631P	P	19951214
			GB 1996-3344	Α	19960216
			US 1996-760866	B1	19961205
			JP 1997-522193	A3	19961210
			WO 1996-US19767	W	19961210

Ι

II

OTHER SOURCE(S):

MARPAT 127:135812

GI

RO
$$CH_{2}CH_{2}NH (CH_{2})_{4}$$

$$N$$

$$RO$$

$$Me$$

$$Me$$

$$Me$$

There are disclosed compds. of formula [I; A = (un)substituted C1-6 alkyl, AΒ C3-7 cycloalkyl, C3-6 alkenyl, or C3-6 alkynyl, C1-6 alkoxy, etc.; R0 = halo, (un) substituted C1-6 alkyl; R1 = (un) substituted Ph or naphthyl; R2 = H, (un)substituted C1-6 alkyl, aralkyl, or aryl, etc.; or R2 and A taken together form a ring of 5-7 atoms; R3 - R5 = H, (un)substituted C1-6 alkyl or C2-6 alkenyl, cyano, NO2, C1-3 perfluoroalkyl, perfluoroalkoxy, (un) substituted aryl, etc.; R3 and R4 taken together form a carbocyclic ring of 3-7 carbon atoms or a heterocyclic ring containing 1-3 heteroatoms selected from N, O, and S; R6 = H, (un)substituted C1-6 alkyl or aryl, cyano, NO2, halo, etc.; R7 = H, (un) substituted C1-6 alkyl, unless X = H or halo, then R7 is absent; R8 = CO2R20, CONR20R21, NR20R21, COR20, NR21COR20, NR21CONR20R21, NR20SO2R21, etc.; wherein R20, R21 = H, (un) substituted C1-6 alkyl, aryl, aralkyl, a carbocyclic ring of 3-7 atoms, or a heterocyclic ring or bicyclic heterocyclic ring with 1-4 heteroatoms; R9, R9a, R10, R10a = H, (un) substituted C1-6 alkyl, aryl, or aralkyl when $m \neq 0$; or e.g. R9 and R9a (when $m \neq 0$) or R10 and R10a taken together form a carbocyclic ring of 3-7 atoms or O when m \neq 0] and pharmaceutically acceptable salts thereof. They are useful as antagonists of GnRH and as such may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women,

e.g. sex-hormone dependent cancers, benign prostatic hypertrophy or myoma of the uterus (no data). Thus, a solution of 5-hydroxyindole derivative (II;

R =

H, R0 = CO2CH2Ph, R1 = CH2Ph) in CH2Cl2 was treated at 0° with triphosgene and a solution of pyridine in CH2Cl2 and stirred for 20 min and the reaction mixture was added to morpholine in CH2CH2 at 0° and stirred at room temperature for 45 min to give the morpholine-4-carboxylic acid ester II (R = morpholine-4-carbonyloxy, R0 = CO2CH2Ph, R1 = CH2Ph). This was hydrogenolyzed in the presence of 10% Pd-C in AcOH under hydrogen atmospheric

to give II (R = morpholine-4-carbonyloxy, R0 = R1 = H).

IT 193016-39-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenyl(aminoalkyl)indole derivs. as antagonists of

(preparation of phenyl (aminoalkyl) indole derivs. as antagonists of gonadotropin releasing hormone for treatment of sex-hormone related conditions)

RN 193016-39-6 CAPLUS

CN Methanesulfonamide, N-[4-[4-[2-[2-(3,5-dimethylphenyl)-5-[(5-phenyl-2-oxazolyl)amino]-1H-indol-3-yl]ethyl]amino]butyl]phenyl]- (9CI) (CA INDEX NAME)

IT 193017-11-7P 193017-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenyl (aminoalkyl) indole derivs. as antagonists of gonadotropin releasing hormone for treatment of sex-hormone related conditions)

RN 193017-11-7 CAPLUS

CN Carbamic acid, [4-[4-[bis(methylsulfonyl)amino]phenyl]butyl][2-[2-(3,5-dimethylphenyl)-5-[(5-phenyl-2-oxazolyl)amino]-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$O = S - Me$$

$$O =$$

RN 193017-12-8 CAPLUS

N Carbamic acid, [2-[2-(3,5-dimethylphenyl)-5-[(5-phenyl-2-oxazolyl)amino]-1H-indol-3-yl]ethyl][4-[4-[(methylsulfonyl)amino]phenyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:332256 CAPLUS

DOCUMENT NUMBER:

122:265286

TITLE:

Formation of 2-alkylaminooxazoles by the

Rh2(OAc)4-catalyzed reaction of α -diazocarbonyl

compounds in the presence of cyanamides

AUTHOR (S):

Fukushima, Kazuaki; Ibata, Toshikazu

CORPORATE SOURCE:

Dep. Chem., Osaka Univ., Osaka, 560, Japan

SOURCE:

Heterocycles (1995), 40(1), 149-54

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER:

Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE:

Journal English

LANGUAGE:

OTHER SOURCE(S):

CASREACT 122:265286

The Rh2(OAc)4-catalyzed reaction of α -diazoacetophenones with N, N-dialkylcyanamides gave the corresponding 2-(N, N-dialkylamino)-5-

aryloxazoles in high yields. Although para-substituents of the

diazoacetophenone and the N-alkyl groups of cyanamide did not affect the yields of the oxazole, unsubstituted and monosubstituted cyanamides gave the corresponding 2-aminooxazoles in low yields. 2-(N,N-Dialkylamino)-5alkoxyoxazoles formed by the similar reaction of diazoacetates with

N, N-dialkylcyanamides were unstable upon isolation.

TΤ 162789-65-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

162789-65-3 CAPLUS RN

2-Oxazolamine, N-methyl-5-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1991:471440 CAPLUS

DOCUMENT NUMBER:

115:71440

TITLE:

New applications of iminophosphoranes. The preparation of β -keto carbodiimides and their

rearrangement to 2-amino-1,3-oxazoles

AUTHOR (S):

Froeyen, Paul

CORPORATE SOURCE:

Dep. Chem., Agric. Univ. Norway, Aas, 1432, Norway Phosphorus, Sulfur and Silicon and the Related

SOURCE:

Elements (1991), 60(1-2), 81-4 CODEN: PSSLEC; ISSN: 1042-6507

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 115:71440

β-Keto carbodiimides RN:C:NCHR2COR1 (R = Ph, 1-naphthyl; R1 = Me, Ph, etc.; R2 = H, Me) have been synthesized by reacting 2-azido ketones

10530810.trn

Page 216

N3CHR2COR1 with triphenylphosphine in the presence of isocyanates/isothiocyanates; the former compds. are readily transformed into 2-amino-1,3-oxazoles (I; same R, R1, R2).

IT 135307-33-4P 135307-37-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 135307-33-4 CAPLUS

CN 2-Oxazolamine, N,5-diphenyl- (9CI) (CA INDEX NAME)

RN 135307-37-8 CAPLUS

CN 2-Oxazolamine, 5-(4-methoxyphenyl)-N-phenyl- (9CI) (CA INDEX NAME)

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